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=> file reg		SINCE FILE	TOTAL
COST IN U.S. DOLLARS		ENTRY	SESSION
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FILE 'REGISTRY' ENTERED AT 14:54:19 ON 24 AUG 2004
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STRUCTURE FILE UPDATES: 23 AUG 2004 HIGHEST RN 731771-88-3
DICTIONARY FILE UPDATES: 23 AUG 2004 HIGHEST RN 731771-88-3

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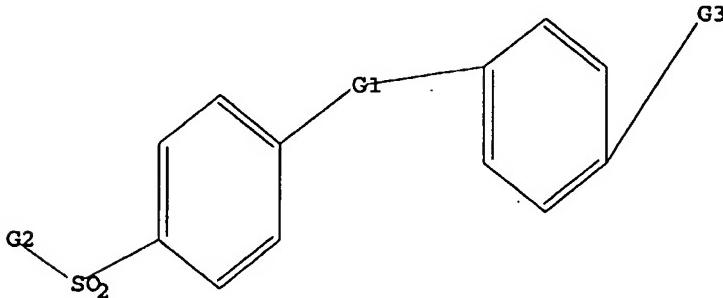
Crossover limits have been increased. See HELP CROSSOVER for details.

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<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>
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L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 Cb,Cy,Hy

G2 N,NH,NH2,Ak

G3 Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 14:54:41 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 855006 TO ITERATE

46.8% PROCESSED 400000 ITERATIONS
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
 SEARCH TIME: 00.00.12

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
 BATCH **INCOMPLETE**
 PROJECTED ITERATIONS: 855006 TO 855006
 PROJECTED ANSWERS: 100 TO 168

L2 63 SEA SSS FUL L1

=> file caplus	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 14:54:59 ON 24 AUG 2004
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FILE COVERS 1907 - 24 Aug 2004 VOL 141 ISS 9
 FILE LAST UPDATED: 23 Aug 2004 (20040823/ED)

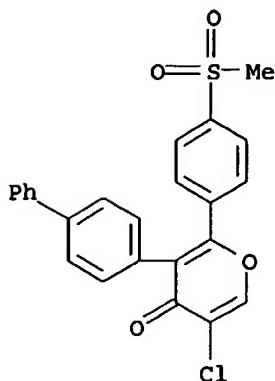
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12
 L3 19 L2

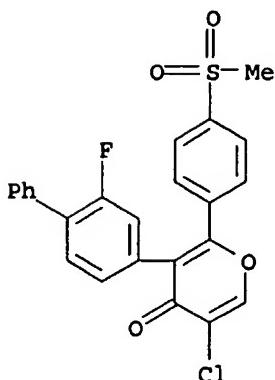
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L3 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2004:303289 CAPLUS
 DN 141:54156
 TI 2,3-Diarylpyran-4-ones: a new series of selective cyclooxygenase-2 inhibitors
 AU Joo, Yung Hyup; Kim, Jin Kwan; Kang, Seon-Hwa; Noh, Min-Soo; Ha, Jun-Yong;
 Choi, Jin Kyu; Lim, Kyung Min; Chung, Shin
 CS Pharmaceutical & Health Research Institute, Drug Discovery, AmorePacific Corporation R&D Center, Gyeonggi-do, 449-729, S. Korea
 SO Bioorganic & Medicinal Chemistry Letters (2004), 14(9), 2195-2198
 CODEN: BMCLE8; ISSN: 0960-894X
 PB Elsevier Science B.V.

DT Journal
 LA English
 IT 708244-51-3P 708244-72-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of 2,3-diarylpyran-4-ones as cyclooxygenase-2 inhibitors and oral antiinflammatory agents)
 RN 708244-51-3 CAPLUS
 CN 4H-Pyran-4-one, 3-[1,1'-biphenyl]-4-yl-5-chloro-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 708244-72-8 CAPLUS
 CN 4H-Pyran-4-one, 5-chloro-3-(2-fluoro[1,1'-biphenyl]-4-yl)-2-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



AB A new series of cyclooxygenase-2 (COX-2) inhibitors with γ -pyrone as central scaffold unit has been synthesized and their biol. activities were evaluated against cyclooxygenase inhibitory activity. The changes of phys. properties of the mols. were performed according to the medicinal chemical principles and moderate oral antiinflammatory activity was obtained with this series of inhibitors.

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:182828 CAPLUS
 DN 140:217657

TI Preparation of N-(4-heterocyclylphenyl)phthalic acid diamide compounds as pest control agents

IN Mita, Takeshi; Kudo, Yoshihiro; Mizukoshi, Takashi; Hotta, Hiroyasu; Maeda, Kazushige; Takii, Shinji

PA Nissan Chemical Industries, Ltd., Japan

SO PCT Int. Appl., 634 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004018410	A1	20040304	WO 2003-JP10708	20030825
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			JP 2002-244619	A 20020826
				JP 2002-281294	A 20020926
				JP 2002-344987	A 20021128
				JP 2003-83371	A 20030325
				JP 2003-182013	A 20030626

OS MARPAT 140:217657

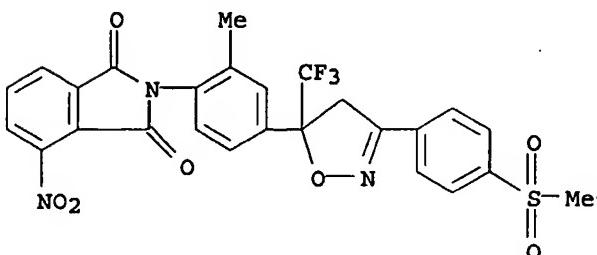
IT 666746-24-3P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

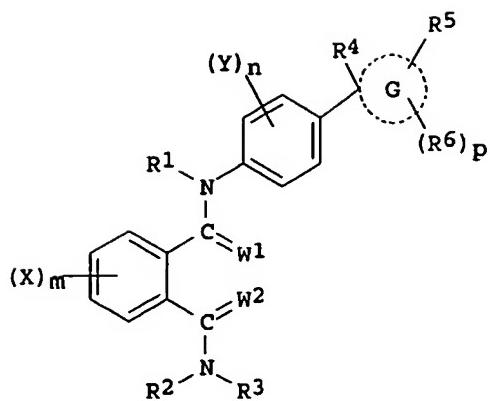
(preparation of N-(4-heterocyclylphenyl)phthalic acid compds. as pest control agents such as insecticides and acaricides)

RN 666746-24-3 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[4-[4,5-dihydro-3-[4-(methylsulfonyl)phenyl]-5-(trifluoromethyl)-5-isoxazolyl]-2-methylphenyl]-4-nitro- (9CI) (CA INDEX NAME)



GI



AB 4'-Heterocyclylbenzanilides [I; G = 5- or 6-membered nonarom. heterocyclyl containing at least one atom selected from O, S, and N and at least one double bond, 5- or 6-membered saturated heterocyclyl containing 2 atoms selected from O,

S, and N, 3- to 6-membered cycloalkyl; W₁, W₂ = O, S; X = halo, cyano, NO₂, N₃, -SCN, SF₅, each (un)substituted C₁-6 alkyl, C₃-8 cycloalkyl, C₂-6 alkenyl, C₂-6 alkynyl, or OH, C₃-8 cycloalkenyl, C₃-8 halocycloalkenyl, SH, etc.; Y = halo, cyano, NO₂, N₃, -SCN, SF₅, each (un)substituted C₁-6 alkyl, C₃-8 cycloalkyl, Ph, OH, or NH₂, SH, etc.; R₁, R₂, R₃ = H, cyano, each (un)substituted C₁-12 alkyl, C₃-12 cycloalkyl, C₃-12 alkenyl, C₃-12 alkynyl, PhO, phenyl-C₁-4 alkoxy, PhS, or Ph, C₃-12 cycloalkenyl, C₃-12 halocycloalkenyl, C₁-6 alkylthio, C₁-6 haloalkylthio, etc.; R₄ = H, halo, cyano, each (un)substituted C₁-6 alkyl, C₁-6 haloalkyl, C₃-8 cycloalkyl, Ph, or OH, C₃-6 alkenyl, C₃-6 haloalkenyl, C₃-6 alkynyl, C₃-6 haloalkyl, 1-naphthyl, 2-naphthyl, etc.; R₅ = H, halo, cyano, each (un)substituted C₁-6 alkyl, C₁-6 haloalkyl, C₃-8 cycloalkyl, C₃-8 halocycloalkyl, or OH, C₃-6 alkenyl, C₃-6 haloalkenyl, C₃-6 alkynyl, C₃-6 haloalkynyl, etc.; R₆ = H, halo, cyano, each (un)substituted C₁-6 alkyl, C₁-6 haloalkyl, C₃-8 cycloalkyl, C₃-8 halocycloalkyl, or Ph, C₁-6 alkoxy, C₁-6 haloalkoxy, 1-naphthyl, 2-naphthyl, etc.; m, n = an integer of 0-4; p = an integer of 0-9] or salts thereof. Also disclosed is a novel agricultural chemical,

especially an insecticide or acaricide containing the compound I as the active ingredient. For example, N₁-[4-[3-(4-fluorophenyl)-5-trifluoromethyl-4,5-dihydroisoxazol-5-yl]-2-methylphenyl]-3-nitro-N₂-isopropylphthalidamide and N₁-[4-[6-(4-chlorophenyl)-2-methyl-4-trifluoromethyl-3,4-dihdropyrimidin-4-yl]-2-methylphenyl]-3-iodo-N₂-isopropylphthalidamide at 100 ppm controlled ≥80% 2nd instar larvae of Spodoptera litura on cabbage leaves.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L3 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:836766 CAPLUS
 DN 139:350731
 TI Preparation of 1-phenyl-1H-pyrazoles for inducing apoptosis in proliferating cells
 IN Chen, Ching-shin; Song, Xueqin; Lin, Ho-pi
 PA The Ohio State University Research Foundation, USA

SO PCT Int. Appl., 83 pp.
CODEN: PIXXD2

DT Patent
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003086287	A2	20031023	WO 2003-US10738	20030408
	WO 2003086287	A3	20040325		
				W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
				US 2002-370664P	P 20020408
	US 2003236294	A1	20031225	US 2003-409502	20030408
				US 2002-370664P	P 20020408

OS MARPAT 139:350731

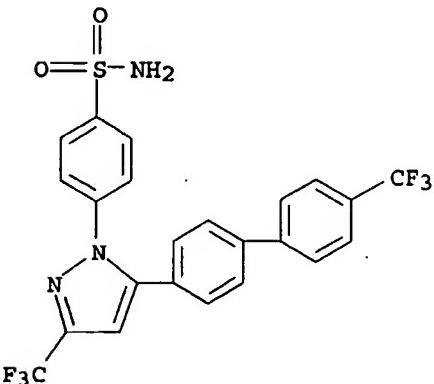
IT 618068-95-4P 618068-99-8P 618069-00-4P
618069-08-2P 618069-09-3P 618069-10-6P
618069-11-7P 618069-12-8P 618069-13-9P
618069-14-0P 618069-15-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiproliferative agent; preparation of 1-Ph-1H-pyrazoles for inducing apoptosis in proliferating cells)

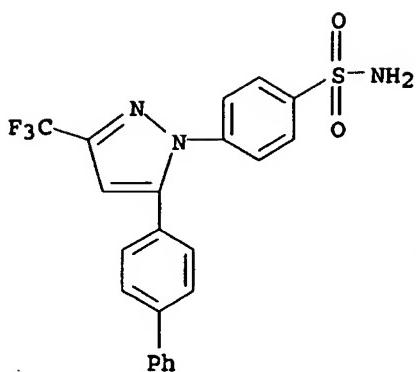
RN 618068-95-4 CAPLUS

CN Benzenesulfonamide, 4-[3-(trifluoromethyl)-5-[4'-(trifluoromethyl)(1,1'-biphenyl]-4-yl]-1H-pyrazol-1-yl] - (9CI) (CA INDEX NAME)



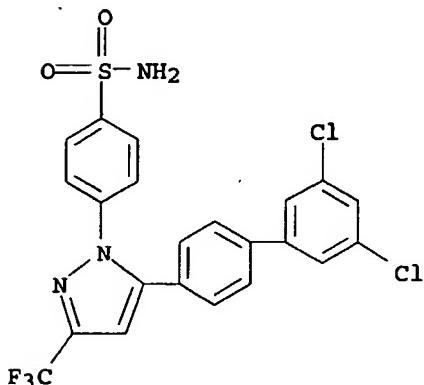
RN 618068-99-8 CAPLUS

CN Benzenesulfonamide, 4-[5-[1,1'-biphenyl]-4-yl-3-(trifluoromethyl)-1H-pyrazol-1-yl] - (9CI) (CA INDEX NAME)



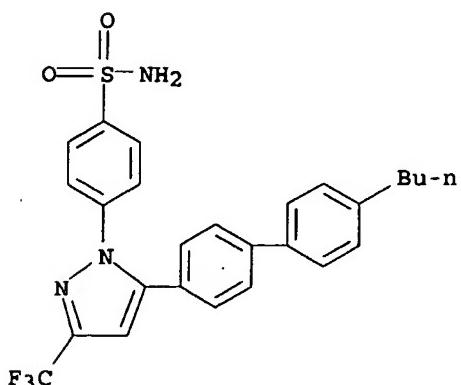
RN 618069-00-4 CAPLUS

CN Benzenesulfonamide, 4-[5-(3',5'-dichloro[1,1'-biphenyl]-4-yl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



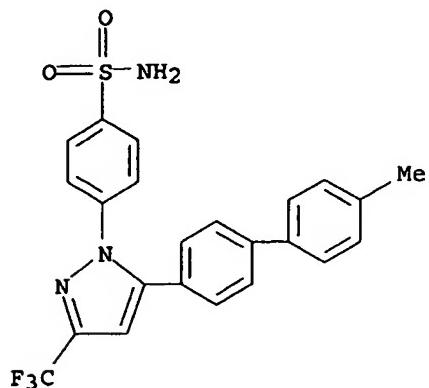
RN 618069-08-2 CAPLUS

CN Benzenesulfonamide, 4-[5-(4'-butyl[1,1'-biphenyl]-4-yl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



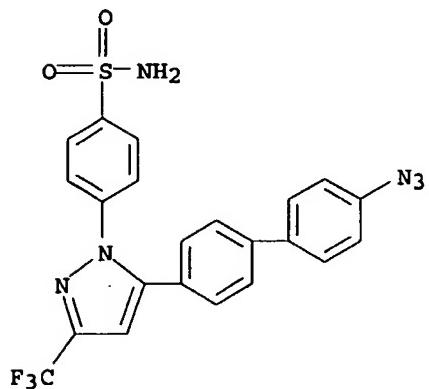
RN 618069-09-3 CAPLUS

CN Benzenesulfonamide, 4-[5-(4'-methyl[1,1'-biphenyl]-4-yl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



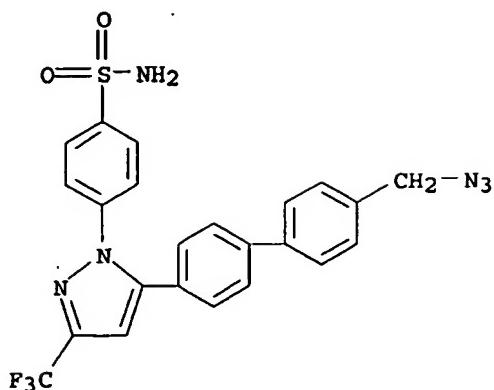
RN 618069-10-6 CAPLUS

CN Benzenesulfonamide, 4-[5-(4'-azido[1,1'-biphenyl]-4-yl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



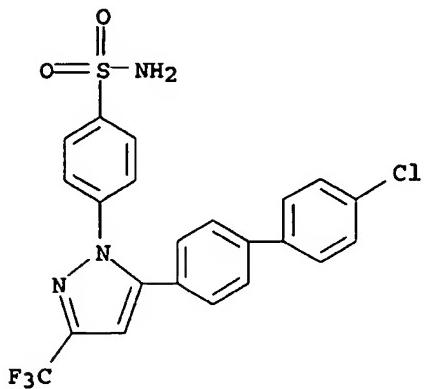
RN 618069-11-7 CAPLUS

CN Benzenesulfonamide, 4-[5-[4'-(azidomethyl)[1,1'-biphenyl]-4-yl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



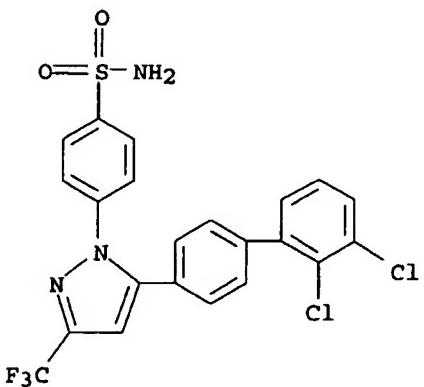
RN 618069-12-8 CAPLUS

CN Benzenesulfonamide, 4-[5-(4'-chlorobiphenyl)-4-yl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]-(9CI) (CA INDEX NAME)



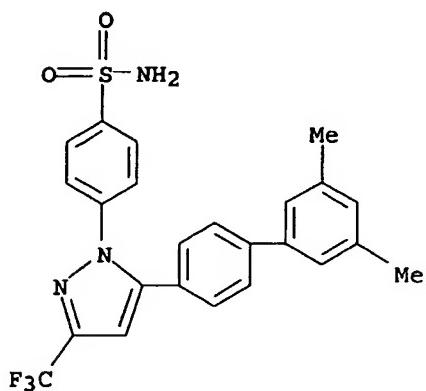
RN 618069-13-9 CAPLUS

CN Benzenesulfonamide, 4-[5-(2',3'-dichlorobiphenyl)-4-yl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]-(9CI) (CA INDEX NAME)



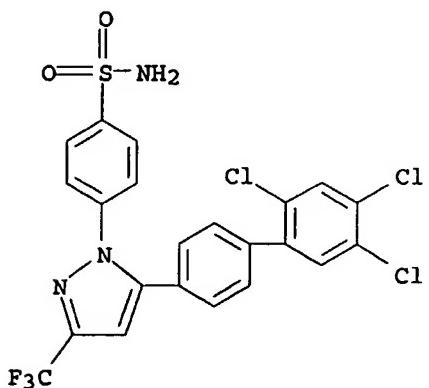
RN 618069-14-0 CAPLUS

CN Benzenesulfonamide, 4-[5-(3',5'-dimethyl[1,1'-biphenyl]-4-yl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

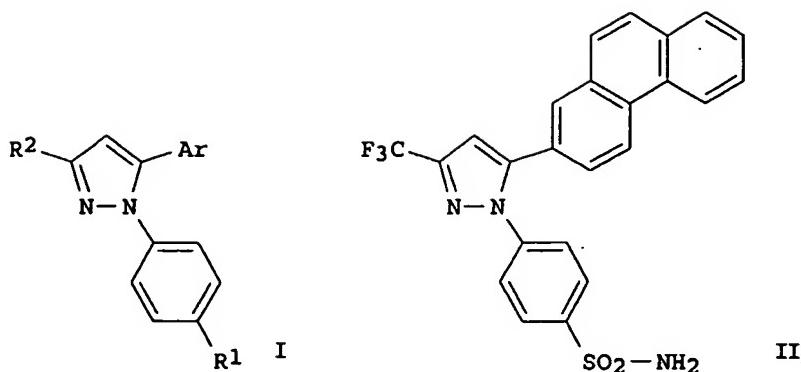


RN 618069-15-1 CAPLUS

CN Benzenesulfonamide, 4-[5-(2',4',5'-trichloro[1,1'-biphenyl]-4-yl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



GI



AB Title compds. I [wherein R1 = carboxamido; R2 = (halo)alkyl; Ar = (un)substituted Ph biphenyl, naphthyl, anthryl, phenanthrenyl, or fluorenyl; and pharmaceutically acceptable salts thereof] were prepared and tested for their effects on cyclooxygenase-2 (COX-2) activity, the viability of human prostate cancer PC-3 cells, and their ability to induce apoptosis in these cells. For example, Claisen condensation of 2-acetylphenanthrene with Et trifluoroacetate in the presence of NaH afforded the 1,3-keto-enol derivative (95%). Reaction with (4-sulfamoylphenyl)hydrazine-HCl in EtOH gave 4-[5-(2-phenanthrenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide (II) in 65% yield. A structure-activity anal. of derivs. of the COX-2 inhibitor celecoxib found no correlation between the COX-2 inhibitory and apoptosis-inducing activities. For instance, increased polarity or bulkiness of the terminal Ph ring reduced the ability of compds. to inhibit COX-2, while a certain degree of bulkiness and hydrophobicity in the substituted Ph ring was highly desirable for apoptosis induction in PC-3 cells. Thus, I are useful for inducing apoptosis in proliferating cells, particularly cancer cells, including but not limited to prostate cancer, leukemia, non-small cell lung cancer, colon cancer, CNS cancer, melanoma, ovarian cancer, renal cancer, bladder cancer, lymphoma, and breast cancer. These compds. are particularly useful in the treatment of androgen-independent cancers, including hormone-refractory prostate cancer.

L3 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:777577 CAPLUS

DN 139:286336

TI Medicinal composition containing inhibitor of decomposition of extracellular matrix of cartilage

IN Gemba, Takefumi; Okamoto, Hiroyuki; Watanabe, Fumihiro

PA Shionogi & Co., Ltd., Japan

SO PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003080042	A1	20031002	WO 2003-JP3673	20030326

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH,

PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
 RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
 NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
 GW, ML, MR, NE, SN, TD, TG

JP 2002-87330

A 20020327

OS MARPAT 139:286336

IT 607719-52-8P 607719-58-4P 607719-60-8P
 607719-61-9P 607719-62-0P 607719-63-1P
 607719-64-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

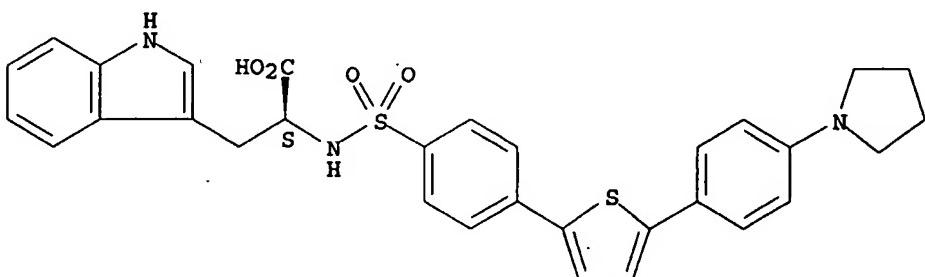
(medicinal composition containing inhibitor of decomposition of
 extracellular matrix

of cartilage and preparation of said inhibitor)

RN 607719-52-8 CAPIUS

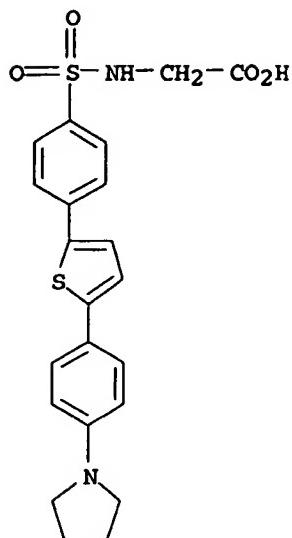
CN L-Tryptophan, N-[[4-[5-[4-(1-pyrrolidinyl)phenyl]-2-thienyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



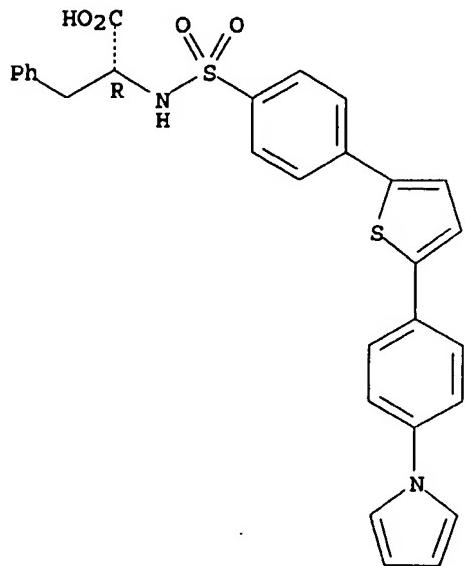
RN 607719-58-4 CAPIUS

CN Glycine, N-[(4-[5-[4-(1-pyrrolidinyl)phenyl]-2-thienyl]phenyl)sulfonyl]- (9CI) (CA INDEX NAME)



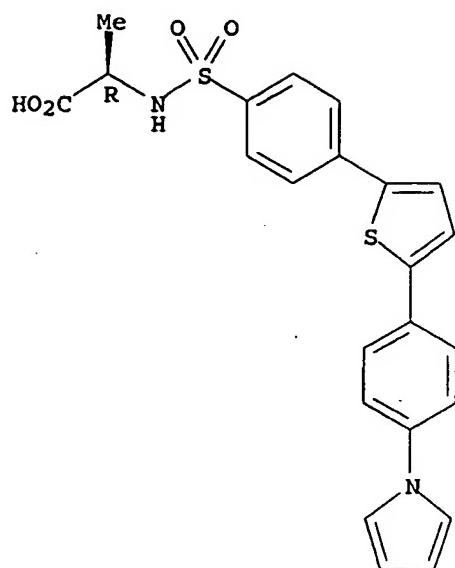
RN 607719-60-8 CAPLUS
CN D-Phenylalanine, N-[{4-[5-[4-(1H-pyrrol-1-yl)phenyl]-2-thienyl]phenyl}sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 607719-61-9 CAPLUS
CN D-Alanine, N-[{4-[5-[4-(1H-pyrrol-1-yl)phenyl]-2-thienyl]phenyl}sulfonyl]- (9CI) (CA INDEX NAME)

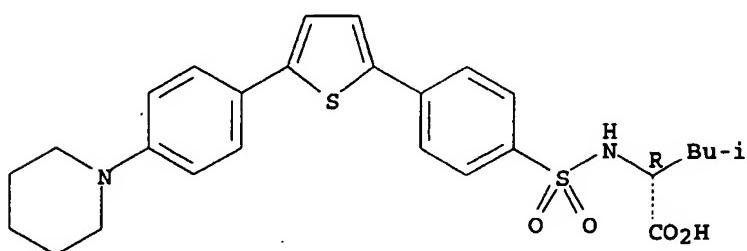
Absolute stereochemistry.



RN 607719-62-0 CAPLUS

CN D-Leucine, N-[4-[5-[4-(1-piperidinyl)phenyl]-2-thienyl]phenyl]sulfonyl] - (9CI) (CA INDEX NAME)

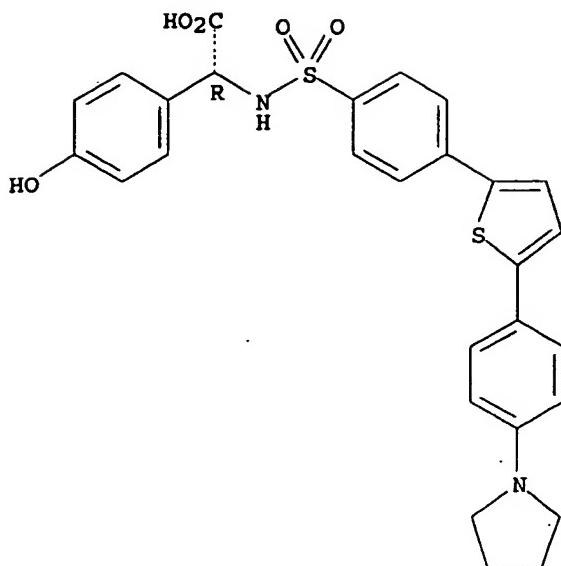
Absolute stereochemistry.



RN 607719-63-1 CAPLUS

CN Benzeneacetic acid, 4-hydroxy-α-[[[4-[5-[4-(1-pyrrolidinyl)phenyl]-2-thienyl]phenyl]sulfonyl]amino]-, (αR)- (9CI) (CA INDEX NAME)

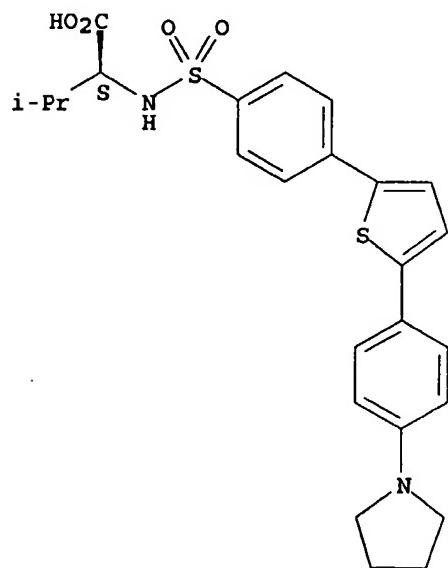
Absolute stereochemistry.



RN 607719-64-2 CAPLUS

CN L-Valine, N-[[4-[5-[4-(1-pyrrolidinyl)phenyl]-2-thienyl]phenyl]sulfonyl] -
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB A medicinal composition contains a compound represented by the general formula R6R5R4SO2W [W is R3NCH(R2)COR1, etc.; R1 is hydroxy, etc.; R2 is optionally substituted lower alkyl, etc.; R3 is hydrogen, etc.; R4 is optionally substituted arylene, etc.; R5 is a single bond, CO, etc.; and R6 is optionally substituted aryl, etc.], an optically active isomer thereof, a prodrug thereof, a pharmaceutically acceptable salt of any of these, or a solvate of any of these. Compds. of this invention in vitro

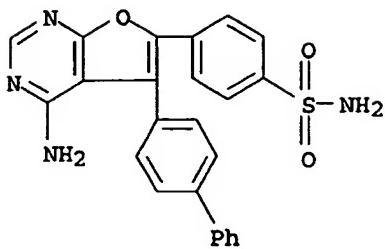
showed IC₅₀ values of 0.00045 μM to >10 μM against MMP-13.
Formulations are given.

RE.CNT 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

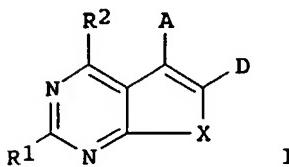
L3 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:221693 CAPLUS
DN 138:238197
TI Preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases
IN Adams, Jerry Leroy; Bryan, Deborah Lynne; Feng, Yanhong; Matsunaga, Shinichiro; Maeda, Yutaka; Miyazaki, Yasushi; Nakano, Masato; Rocher, Jean-Philippe; Sato, Hideyuki; Semones, Marcus; Silva, Domingos J.; Tang, Jun
PA Glaxosmithkline K.K., Japan; Smithkline Beecham Corporation
SO PCT Int. Appl., 265 pp.
CODEN: PIXKD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003022852	A2	20030320	WO 2002-US28650	20020910
	WO 2003022852	A3	20031127		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1425284				US 2001-318766P	P 20010911
				EP 2002-798181	20020910
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, SK				
				US 2001-318766P	P 20010911
				WO 2002-US28650	W 20020910

OS MARPAT 138:238197
 IT 501695-52-9P, 4-Amino-5-(4-biphenyl)-6-(4-sulfamoylphenyl)furo[2,3-d]pyrimidine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of furo- and thienopyrimidines as TIE-2 and/or VEGFR-2 kinase inhibitors useful against hyperproliferative diseases)
 RN 501695-52-9 CAPLUS
 CN Benzenesulfonamide, 4-(4-amino-5-[1,1'-biphenyl]-4-yl)furo[2,3-d]pyrimidin-6-yl) - (9CI) (CA INDEX NAME)



GI

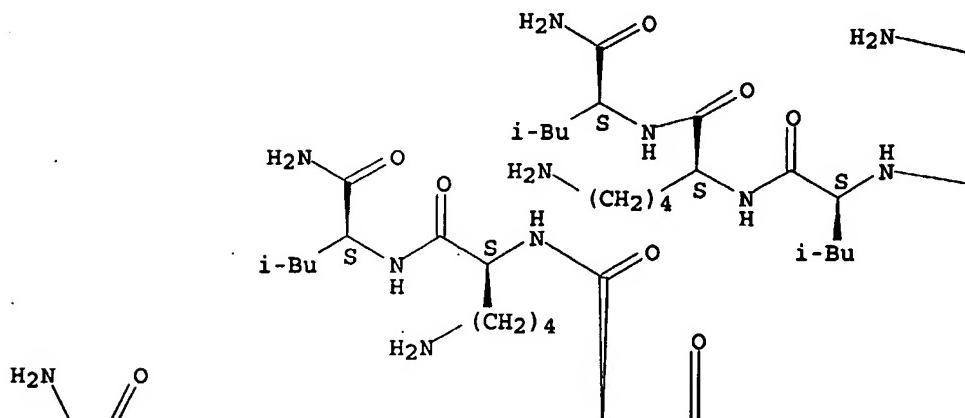


AB Furo- and thienopyrimidine derivs. (shown as I; variables defined below; e.g. 4-Amino-3-(4-methoxyphenyl)-2-[3-(methylsulfonylamino)phenyl]furo[2,3-d]pyrimidine), which are useful as TIE-2 (tyrosine kinase containing immunoglobulin and EGF homol. domains) and/or VEGFR-2 kinase inhibitors against hyperproliferative diseases are described herein. Enzyme inhibitions by .apprx.60 examples of I are included as ranges; also, 4-amino-3-[4-[(2-fluoro-5-(trifluoromethyl)phenyl)aminocarbonylamino]phenyl]thieno[2,3-d]pyrimidine exhibited IC₅₀ = 0.0018 μM in the TIE-2 fluorescence polarization kinase activity assay. For I: X is O or S; A is H, halo, C₁-C₆ alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with ≥1 R₃, heterocyclyl, -RR₃, -C(O)OR₄, -C(O)NR₅R₆, -C(O)R₄; D is H, halo, C₁-C₆ alkyl, aryl, heteroaryl, aryl or heteroaryl substituted with ≥1 R₃, heterocyclyl, -RR₃, -C(O)OR₄, -C(O)NR₅R₆, or -C(O)R₄. R is C₁-C₆ alkylene, C₃-C₇ cycloalkylene, C₁-C₆ alkenylene, or C₁-C₆ alkynylene; R₁ is H, C₁-C₆ alkyl, C₁-C₆ alkoxy, -SR₄, -S(O)2R₄, -NR₇R₇, -NR'N'R'''R'''', -N(H)RR₃, -C(O)OR₇, or -C(O)NR₇R₇. R₂ is H, -OH, -NR₇R₇ or :NH; R₃ is halo, C₁-C₆ alkyl, C₁-C₆ haloalkyl, C₁-C₆ alkoxy, C₃-C₇ cycloalkoxy, C₁-C₆ haloalkoxy, aryl, aralkyl, aryloxy, heteroaryl, heterocyclyl, -CN, -NHC(O)R₄, -N(R₈)HC(O)R₄, -NHC(S)R₄, -NR₅R₆, -RNR₅R₆, -SR₄, -S(O)2R₄, -RC(O)OR₄, -C(O)OR₄, -C(O)R₄, -C(O)NR₅R₆, -NHS(O)2R₄, -N(S(O)2R₄)S(O)2R₄, -S(O)2NR₅R₆, or -NHC(:NH)R₄. R₄ is H, C₁-C₆ alkyl, aryl, heteroaryl, heterocyclyl, -RR₃, -NR'''R'''', or -NR'NR'''R''''; R₅ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, -NHC(O)OR''', -R'NHC(O)OR''', -R'NHC(O)NR'''R'''', or -R'C(O)OR'''. R₆ is H, C₁-C₆ alkyl, C₃-C₇ cycloalkyl, cyanoalkyl, -R'R'', aryl, aralkyl, heteroaryl, -C(O)OR''', or -R'C(O)NR'''R'''; R₇ is H, C₁-C₆ alkyl, aryl, or -C(O)OR'''; R₈ is C₁-C₃ alkyl; R' is C₁-C₃ alkylene; R'' is heteroalkyl or NRR'''R''''; R''' is H, C₁-C₆ alkyl, aryl, aralkyl, heteroaryl, or C₃-C₇ cycloalkyl; R'''' is H, C₁-C₆ alkyl, aryl, heteroaryl, or C₃-C₇ cycloalkyl. Although the methods of preparation are not claimed, several example preps. of I are included and characterization data is given for .apprx.480 examples of I.

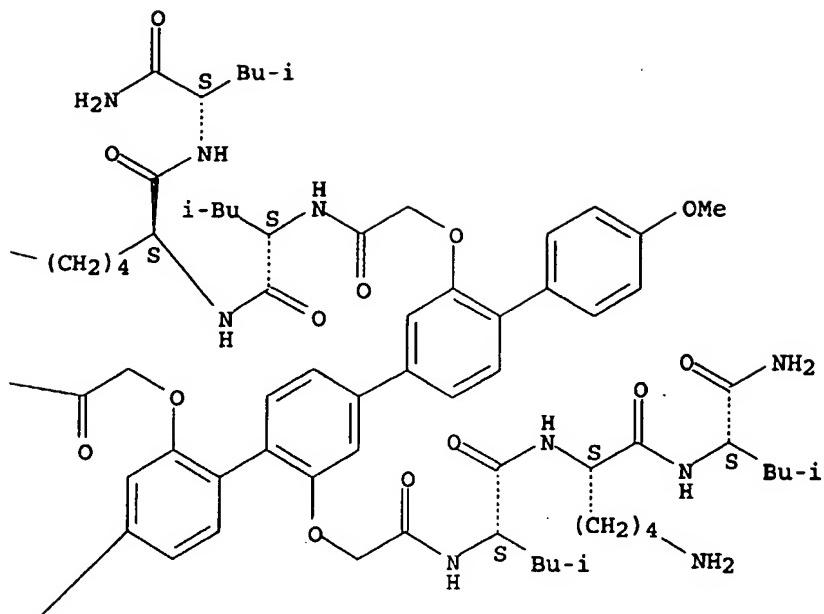
L3 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:69769 CAPLUS
DN 138:364359

Absolute stereochemistry.

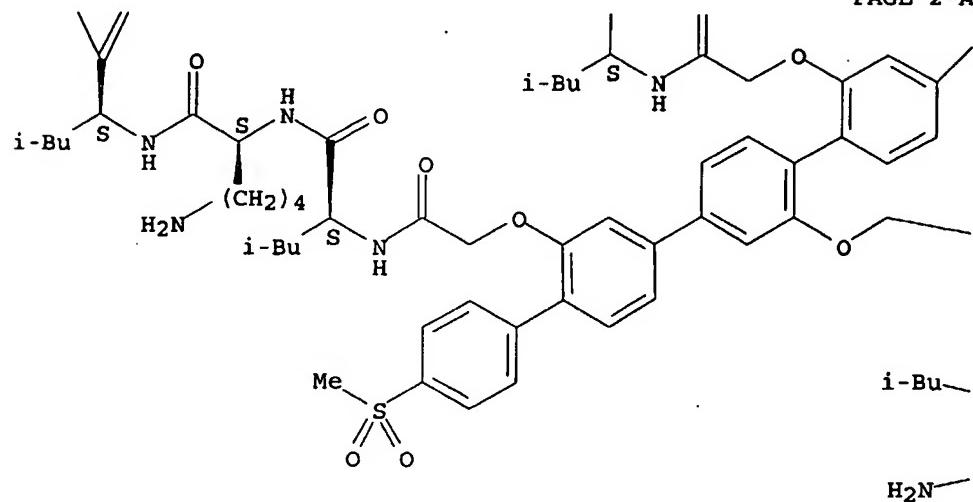
PAGE 1-A

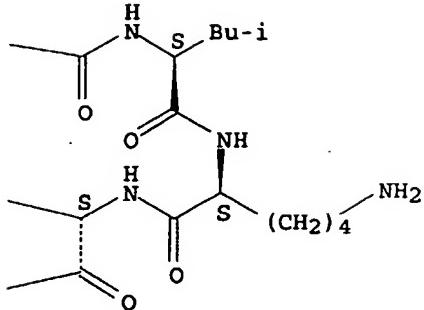


PAGE 1-B



PAGE 2-A





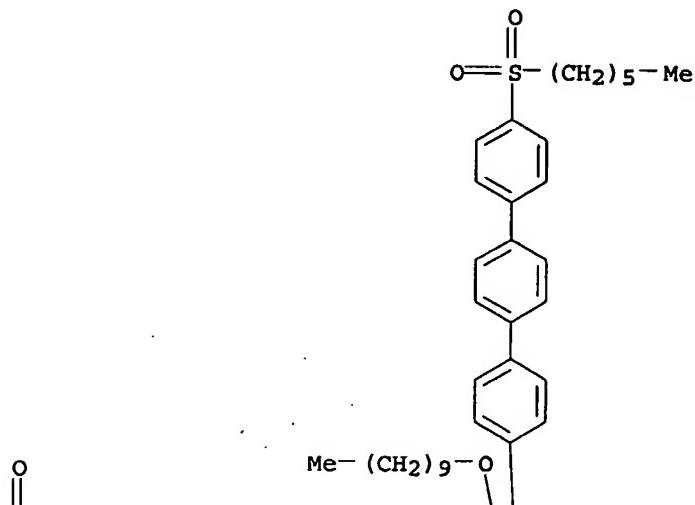
AB Ion channels formed by p-octiphenyls equipped with amphiphilic, cationic tripeptide strands and either with (5) or without (6) axial dipole moment are described (preliminary communication: N. Sakai, S. Matile, J. Am. Chemical Society 2002, 124, 1184-1185). Fluorescence kinetics with variably polarized neutral or anionic vesicles, together with planar bilayer conductance measurements, reveal voltage dependence with weakly lyotropic anion selectivity, and deactivation by competing surface potentials of the ion channels formed by asym. 5. In planar bilayers, 5 forms short-lived, poorly organized channels-similar to those produced by α -helical natural antibiotics-capable of transforming into stable, ohmic p-octiphenyl "beta-barrel" ion channels similar to those of the >99% homologous but sym. 6. Fluorescence depth quenching and CD studies confirm the effect of membrane potentials in promotion of the partitioning of 5 (but not 6) into the bilayers, identifying partitioning as the voltage-dependent step.

RE.CNT 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2003:22325 CAPLUS
 DN 139:6667
 TI Synthesis and fluorescence enhancement of oligophenylene-substituted calix[4]arene assemblies
 AU Wong, Man Shing; Zhang, Xiao Ling; Chen, Dong Zhong; Cheung, Wai Ho
 CS Department of Chemistry, Hong Kong Baptist University, Hong Kong, Peop. Rep. China
 SO Chemical Communications (Cambridge, United Kingdom) (2003), (1), 138-139
 CODEN: CHCOFS; ISSN: 1359-7345
 PB Royal Society of Chemistry
 DT Journal
 LA English
 OS CASREACT 139:6667
 IT 536708-84-6P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (preparation of oligophenylene-substituted calixarene assemblies via cross-coupling reaction of oligoboronic acid and tetrahalocalixarenes and their fluorescence enhancement)
 RN 536708-84-6 CAPLUS

CN Pentacyclo[19.3.1.13,7.19,13.115,19]octacosa-1(25),3,5,7(28),9,11,13(27),1
5,17,19(26),21,23-dodecaene, 25,26,27,28-tetrakis(decyloxy)-5,11,17,23-
tetrakis[4'''-(hexylsulfonyl)[1,1':4',1'''-terphenyl]-4-yl]- (9CI) (CA
INDEX NAME)

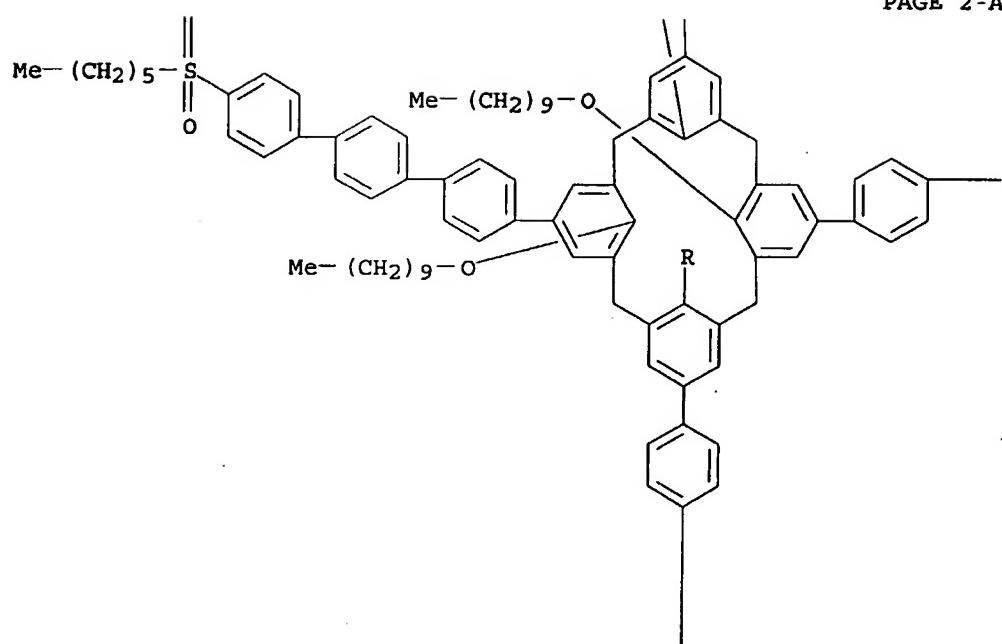
PAGE 1-A



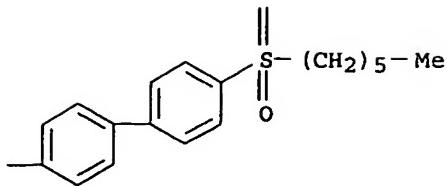
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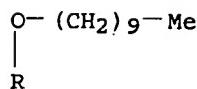
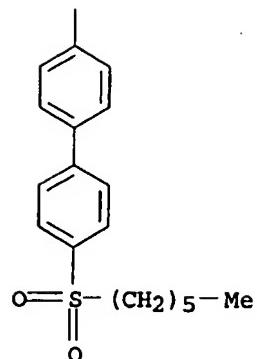
PAGE 2-A



PAGE 2-B



PAGE 3-A



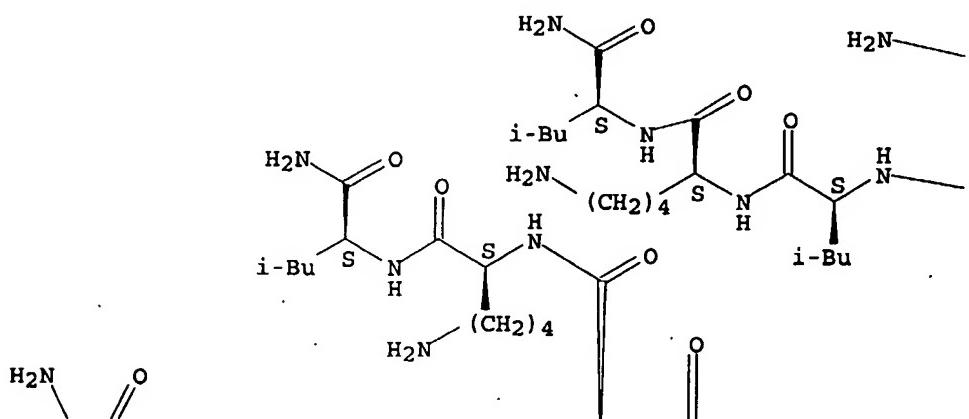
AB Tetra-oligophenylene substituted calix[4]arene assemblies containing up to three phenylene units have been synthesized by a convergent approach using Suzuki cross-coupling reaction. Their optical properties were investigated and compared with the corresponding monomer.

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

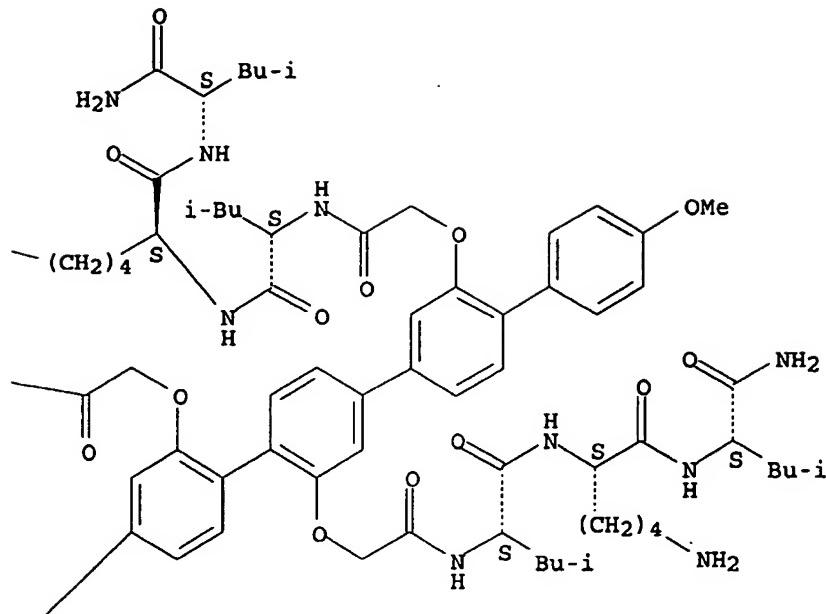
L3 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:805629 CAPLUS
 DN 138:200393
 TI On the importance of intermediate internal charge repulsion for the synthesis of multifunctional pores
 AU Baumeister, Bodo; Som, Abhigyan; Das, Gopal; Sakai, Naomi; Vilbois, Francis; Gerard, David; Shahi, Shatrughan P.; Matile, Stefan
 CS Department of Organic Chemistry, University of Geneva, Geneva, CH-1211/4, Switz.
 SO Helvetica Chimica Acta (2002), 85(9), 2740-2753
 CODEN: HCACAV; ISSN: 0018-019X
 PB Verlag Helvetica Chimica Acta
 DT Journal
 LA English
 OS CASREACT 138:200393
 IT 406217-64-9
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (importance of intermediate internal charge repulsion for synthesis of

Absolute stereochemistry.

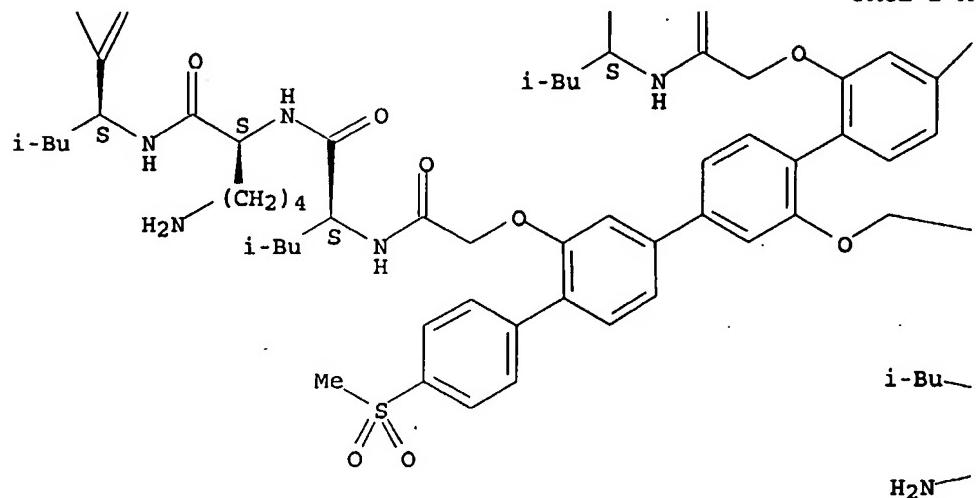
PAGE 1-A

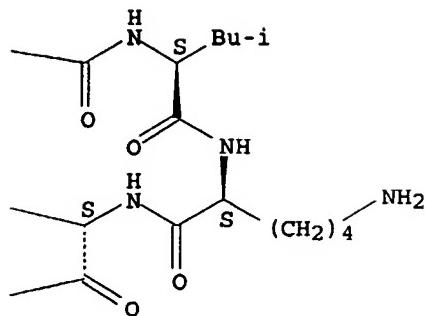


PAGE 1-B



PAGE 2-A





AB Intermediate internal charge repulsion (ICR) is required to create synthetic pores with large, stable, transmembrane, and variably functionalized space. This conclusion is drawn from maximal transport and, in one case, catalytic activity of p-octiphenyl β -barrel pores with internal lysine, aspartate, and histidine residues around pH 7, 6, and 4.5, resp. PKa Simulations corroborate the exptl. correlation of intermediate ICR with activity and suggest that insufficient ICR causes pore "implosion" and excess ICR pore "explosion". Esterolysis expts. support the view that the formation of stable space within multifunctional p-octiphenyl β -barrels requires more ICR in bilayer membranes than in H₂O. Multivalency effects are thought to account for p-octiphenyl β -barrel expansion with increasing number of β -sheets, and proximity effects for unchanged pH profiles with increasing β -sheet length. Q-TOF-nano-ESI-MS barrel-denaturation expts. indicate that contributions from internal counterion effects are not negligible. The overall characteristics of p-octiphenyl β -barrel pores with internal lysine, aspartate, and histidine residues, unlike de novo "a-barrels" and similarly to certain biol. channels, underscore the usefulness of rigid-rod mols. to preorganize complex multifunctional supramol. architecture.

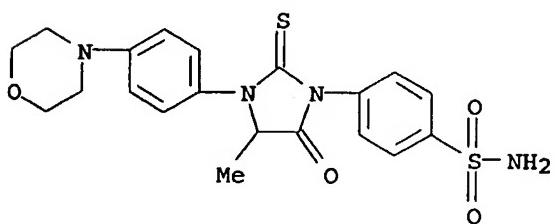
RE.CNT. 102 THERE ARE 102 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:793608 CAPLUS
 DN 137:310917
 TI Aromatic-substituted thiohydantoins, their preparation, and their use for treating diabetes, dyslipidemia, and obesity
 IN Boubia, Benaiessa; Chaput, Evelyne; Ou, Khan; Ratel, Philippe
 PA Laboratoires Fournier SA, Fr.
 SO PCT Int. Appl., 111 pp.
 CODEN: PIXXD2
 DT Patent
 LA French
 FAN.CNT 1

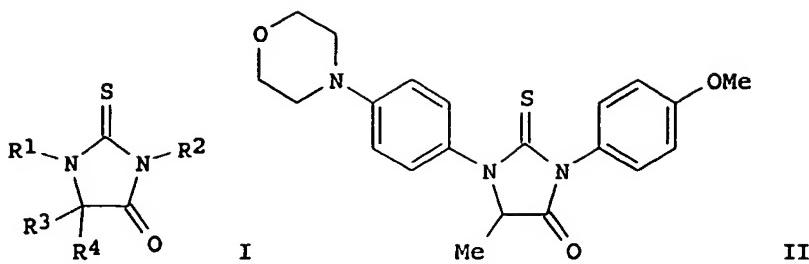
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2002081453	A1	20021017	WO 2002-FR1167	20020404

WO 2002081453	C1	20021114		
W:	AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	FR 2001-4552	A 20010404	
FR 2823209	A1	20021011	FR 2001-4552	20010404
FR 2823209	B1	20031212		
EP 1373219	A1	20040102	EP 2002-730333	20020404
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	FR 2001-4552	A 20010404	
EE 200300485	A	20040216	WO 2002-FR1167	W 20020404
JP 2004525175	T2	20040819	EE 2003-485	20020404
US 2004116417	A1	20040617	FR 2001-4552	A 20010404
NO 2003004430	A	20031006	WO 2002-FR1167	W 20020404
OS MARPAT 137:310917			JP 2002-579441	20020404
IT 471937-21-0P, 1-(4-(Morpholin-4-yl)phenyl)-3-(4-aminosulfonyl)phenyl-5-methyl-2-thioxo-4-imidazolidinone			FR 2001-4552	A 20010404
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)			WO 2002-FR1167	W 20020404
RN 471937-21-0 CAPLUS			US 2003-473032	20030926
CN Benzenesulfonamide, 4-[4-methyl-3-[4-(4-morpholinyl)phenyl]-5-oxo-2-thioxo-1-imidazolidinyl]- (9CI) (CA INDEX NAME)			FR 2001-4552	A 20010404
			WO 2002-FR1167	W 20020404
			NO 2003-4430	20031003
			FR 2001-4552	A 20010404
			WO 2002-FR1167	W 20020404

IT 471937-21-0P, 1-(4-(Morpholin-4-yl)phenyl)-3-(4-aminosulfonyl)phenyl-5-methyl-2-thioxo-4-imidazolidinone
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of aromatic-substituted thiohydantoins for treatment of diabetes, dyslipidemia, and obesity)
 RN 471937-21-0 CAPLUS
 CN Benzenesulfonamide, 4-[4-methyl-3-[4-(4-morpholinyl)phenyl]-5-oxo-2-thioxo-1-imidazolidinyl]- (9CI) (CA INDEX NAME)



GI



AB The invention concerns compds. derived from 2-thiohydantoin, selected among compds. I [R1 = (un)substituted aromatic nucleus [substituents = halo, alkoxy, alkyl, alkylthio, NO₂, CF₃, OCF₃, OCH₂O, or (un)substituted (homo) (thio)morpholine, (homo)piperidine, (homo)piperazine, etc.]; R2 = H, alkyl or cycloalkyl [optionally interrupted by O atoms(s)], haloalkyl, alkenyl, alkynyl, hydroxyalkyl, aminoalkyl, cyanoalkyl, (un)substituted aromatic nucleus; R3 = H, alkyl; R4 = H, alkyl, OH; or R3R4 = CH₂; provided that at least one of R1 and R2 is an aromatic nucleus bearing at least one (un)substituted (homo) (thio)morpholine, (homo)piperidine, (homo)piperazine, etc.] and their addition salts with acids, in particular their pharmaceutically acceptable salts. The invention also concerns methods for preparing I, pharmaceutical compns. containing them, and their use.

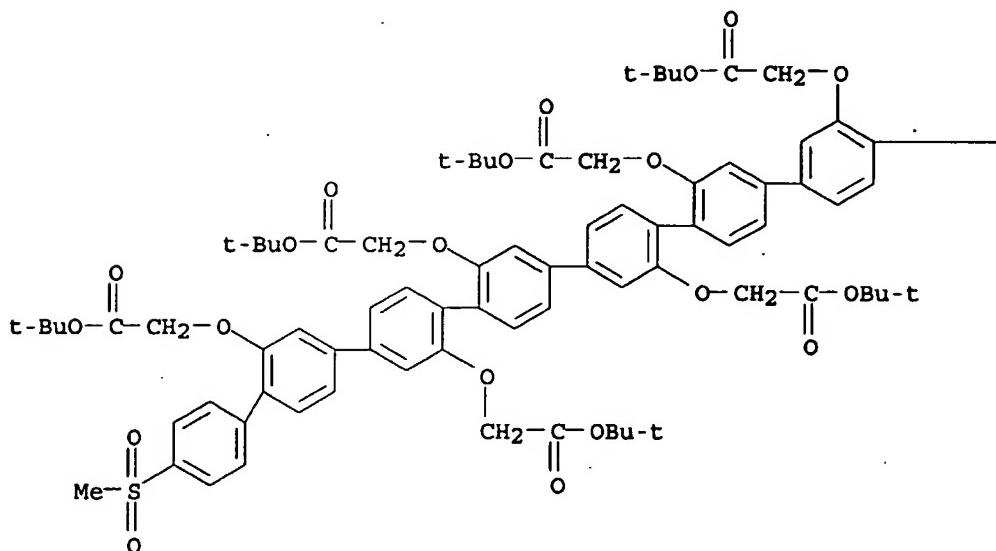
as pharmacol. active substances, in particular for treating diabetes, diseases mediated by hyperglycemia, hypertriglyceridemia, dyslipidemia, or obesity. A total of 380 invention compds. and approx. 80 intermediates were prepared and characterized. When tested orally in mice at doses below 200 mg/kg, I reduced glucose levels by up to -73%, and reduced serum triglycerides by up to -56%, with favorable changes in lipid parameters (no specific data). For instance, 4-(4-morpholinyl)aniline reacted with Et 2-bromopropionate and NaOAc in EtOH to give 69% N-[4-(4-morpholinyl)phenyl]-DL-alanine Et ester. Cyclocondensation of this amino ester with 4-(isothiocyanato)anisole in refluxing toluene in the presence of AcOH gave 82.5% title compound II.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

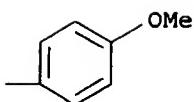
L3 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:73773 CAPLUS
DN 136:275111
TI Recognition of Polarized Lipid Bilayers by p-Oligophenyl Ion Channels:
From Push-Pull Rods to Push-Pull Barrels
AU Sakai, Naomi; Matile, Stefan
CS Department of Organic Chemistry, University of Geneva, Geneva, CH-1211,
Switz.
SO Journal of the American Chemical Society (2002), 124(7), 1184-1185
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
IT 406217-67-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RA
(Reactant or reagent)
(intermediate; preparation of p-oligophenyl push-pull β -barrel
synthetic ion channels which recognize phosphatidylcholine bilayer
membranes)

RN 406217-67-2 CAPLUS
 CN Acetic acid, 2,2',2'',2''',2'''',2'''''-[[4-methoxy-4''''-(methylsulfonyl)[1,1':4',1'':4'',1'''':4''',1''''':4'''',1''''':4'''',1'''':4'''''',1'''''-octiphenyl]-2',2'',2'''',3'',3''',3'''''-hexayl]hexakis(oxy)hexakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

PAGE 1-A



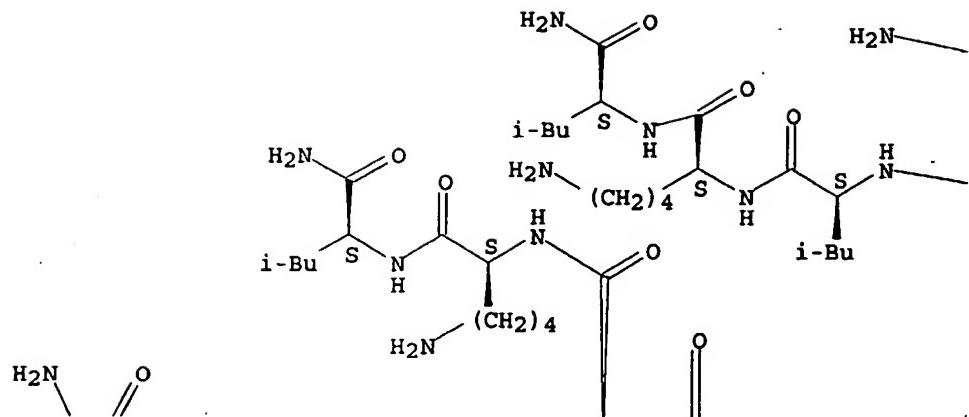
PAGE 1-B



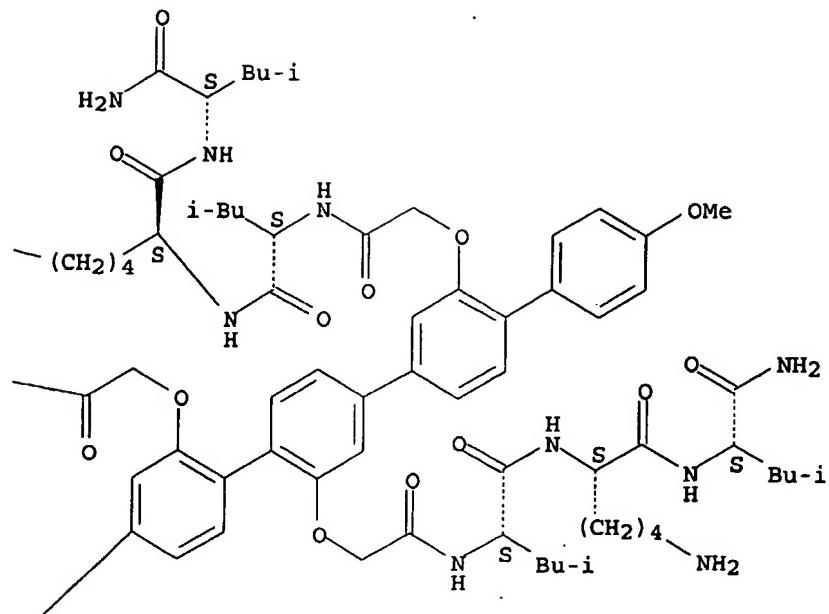
IT 406217-64-9P
 RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of p-oligophenyl push-pull β -barrel synthetic ion channels which recognize phosphatidylcholine bilayer membranes)
 RN 406217-64-9 CAPLUS
 CN L-Leucinamide, 1,1',1'',1''',1''''',1'''''-[[4-methoxy-4''''-(methylsulfonyl)[1,1':4',1'':4'',1'''':4''',1''''':4'''',1''''':4'''',1'''':4'''''',1'''''-octiphenyl]-2',2'',2'''',3'',3''',3'''''-hexayl]hexakis[oxy(1-oxo-2,1-ethanediyl)]hexakis[L-leucyl-L-lysyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

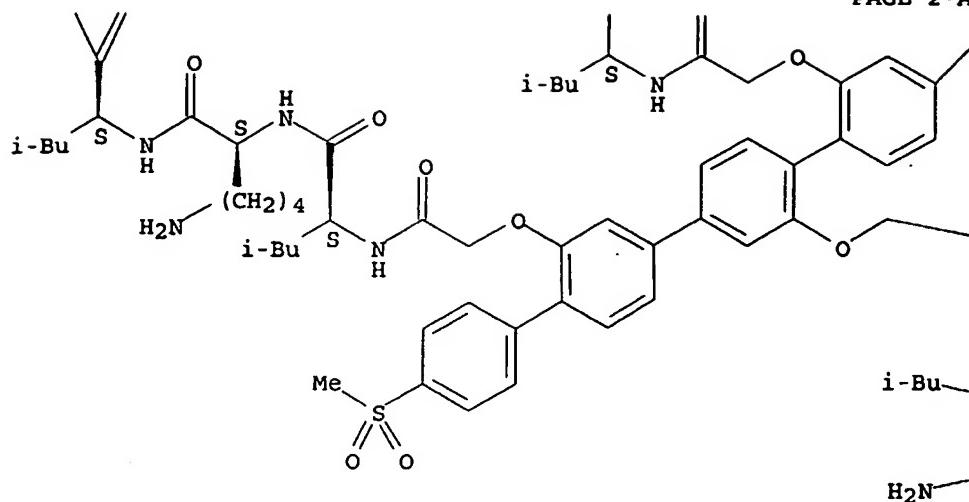
PAGE 1-A



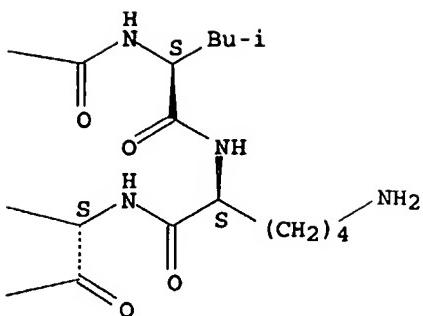
PAGE 1-B



PAGE 2-A



PAGE 2-B



AB Design, synthesis, and evaluation of 14-methoxy-84-methylsulfonyl-22,33,42,53,62,73-hexa(Gla-Leu-Lys-Leu-NH₂)-p-octiphenyl (1) and 14,84-bismethoxy-22,33,42,53,62,73-hexa(Gla-Leu-Lys-Leu-NH₂)-p-octiphenyl (2) are described (Gla = -OCH₂CO-). Nanomolar concns. of push-pull rod 1 are found to suffice to selectively form ion channels in polarized spherical bilayer membranes composed of egg yolk phosphatidylcholine. Exponential dependence of the ion-channel activity on membrane polarization reveals a gating charge of 0.85/channel. Independence of the activity of push-push rod 2 on membrane potential demonstrates that cell membrane recognition originates from the axial dipole in push-pull rod 1. Nonlinear concentration dependence of activity at -180 mV indicates parallel self-assembly of push-pull rod 1 into a tetrameric barrel-stave supramol.

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:816659 CAPLUS
 DN 135:357924

TI Novel heterocyclic compounds, namely imidazole sulfones and analogs, with anti-inflammatory activity, their preparation, and their therapeutic use as cyclooxygenase 2 inhibitors

IN Almansa Rosales, Carmen; Gonzalez Gonzalez, Concepcion; Torres Barreda, M. Carmen

PA J. Uriach & Cia S.A., Spain

SO PCT Int. Appl., 72 pp.

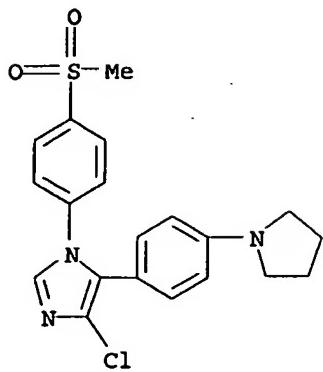
CODEN: PIXXD2

DT Patent

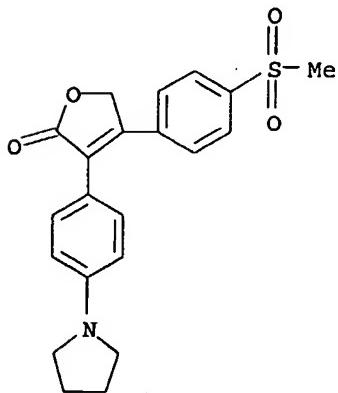
LA Spanish

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001083475	A1	20011108	WO 2001-ES152	20010423
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			ES 2000-1138	A 20000425
				ES 2000-1138	20000425
	ES 2166710	A1	20020416	ES 2000-1138	20000425
	BR 2001010328	A	20030107	BR 2001-10328	20010423
				ES 2000-1138	A 20000425
				WO 2001-ES152	W 20010423
	EP 1281709	A1	20030205	EP 2001-921386	20010423
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			ES 2000-1138	A 20000425
				WO 2001-ES152	W 20010423
	JP 2003531903	T2	20031028	JP 2001-580903	20010423
				ES 2000-1138	A 20000425
				WO 2001-ES152	W 20010423
	NO 2002005101	A	20021220	NO 2002-5101	20021024
				ES 2000-1138	A 20000425
				WO 2001-ES152	W 20010423
	US 2003114456	A1	20030619	US 2002-258471	20021025
				ES 2000-1138	A 20000425
				WO 2001-ES152	W 20010423
OS	MARPAT 135:357924				
IT	372107-26-1P, 4-Chloro-1-(4-methylsulfonylphenyl)-5-[4-(1-pyrrolidinyl)phenyl]imidazole 372107-51-2P, 4-(4-Methylsulfonylphenyl)-3-[4-(1-pyrrolidinyl)phenyl]-5H-furan-2-one				
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)				
	(drug candidate; preparation of imidazole sulfones and analogs as cyclooxygenase 2 inhibitors and antiinflammatories)				
RN	372107-26-1 CAPLUS				
CN	1H-Imidazole, 4-chloro-1-[4-(methylsulfonyl)phenyl]-5-[4-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)				



RN 372107-51-2 CAPLUS
 CN 2(5H)-Furanone, 4-[4-(methylsulfonyl)phenyl]-3-[4-(1-pyrrolidinyl)phenyl]-
 (9CI) (CA INDEX NAME)

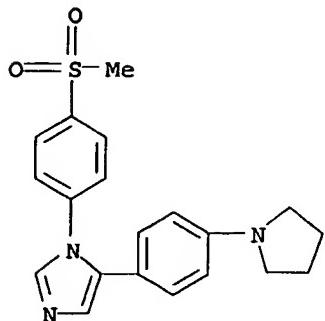


IT 372107-27-2P, 1-(4-Methylsulfonylphenyl)-5-[4-(1-pyrrolidinyl)phenyl]imidazole 372107-28-3P, 4-Chloro-5-[4-(3-hydroxypyrrolidin-1-yl)phenyl]-1-(4-methylsulfonylphenyl)imidazole 372107-29-4P, 4-Chloro-5-[4-(2-methylpyrrolidin-1-yl)phenyl]-1-(4-methylsulfonylphenyl)imidazole 372107-31-8P, 4-[4-Chloro-5-[4-(1-pyrrolidinyl)phenyl]imidazol-1-yl]benzenesulfonamide 372107-33-0P, 4-Chloro-5-[3-chloro-4-(1-pyrrolidinyl)phenyl]-1-(4-methylsulfonylphenyl)imidazole 372107-35-2P, 4-Chloro-1-(4-methylsulfonylphenyl)-5-[4-(2,5-dioxopyrrolidin-1-yl)phenyl]imidazole 372107-37-4P, 4-Chloro-1-(4-methylsulfonylphenyl)-5-[4-(2-oxo-3-pyrrolin-1-yl)phenyl]imidazole 372107-39-6P, 4-Chloro-1-(4-methylsulfonylphenyl)-5-[4-(2-oxooxazolidin-3-yl)phenyl]imidazole 372107-43-2P, 4-Chloro-1-(4-methylsulfonylphenyl)-5-[4-(2-oxopyrrolidin-1-yl)phenyl]imidazole 372107-48-7P, 3-[4-(2,5-Dioxopyrrolidin-1-yl)phenyl]-4-(4-methylsulfonylphenyl)-5H-furan-2-one 372107-49-8P, 4-(4-Methylsulfonylphenyl)-3-[4-(2-oxo-3-pyrrolin-1-yl)phenyl]-5H-furan-2-one 372107-52-3P, 3-[3-Chloro-4-(1-pyrrolidinyl)phenyl]-4-(4-methylsulfonylphenyl)-5H-furan-2-one 372107-54-5P, 4-[5-[4-(2-Oxo-3-pyrrolin-1-yl)phenyl]-3-trifluoromethyl-1H-pyrazol-1-

yl]benzenesulfonamide 372107-55-6P, 4-[5-[4-(1-Pyrrolidinyl)phenyl]-3-trifluoromethyl-1H-pyrazol-1-yl]benzenesulfonamide
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of imidazole sulfones and analogs as cyclooxygenase 2 inhibitors and antiinflammatories)

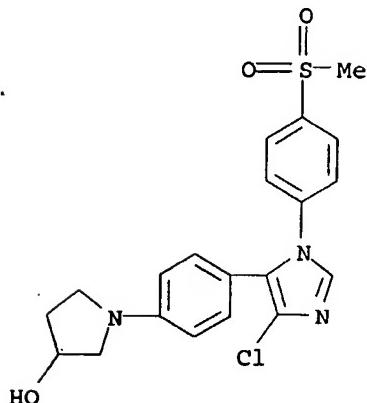
RN 372107-27-2 CAPLUS

CN 1H-Imidazole, 1-[4-(methylsulfonyl)phenyl]-5-[4-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)



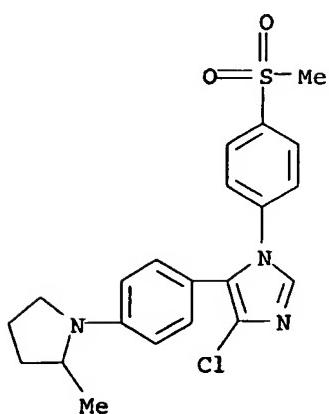
RN 372107-28-3 CAPLUS

CN 3-Pyrrolidinol, 1-[4-[4-chloro-1-[4-(methylsulfonyl)phenyl]-1H-imidazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)

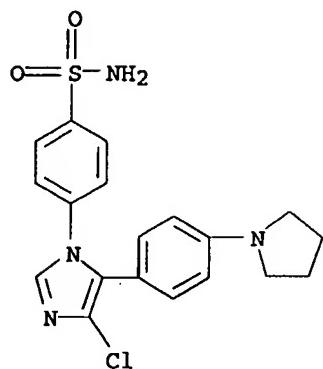


RN 372107-29-4 CAPLUS

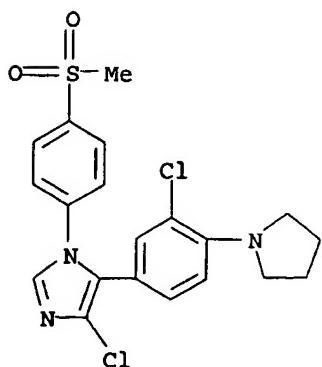
CN 1H-Imidazole, 4-chloro-5-[4-(2-methyl-1-pyrrolidinyl)phenyl]-1-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



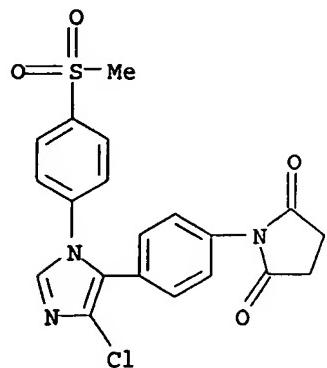
RN 372107-31-8 CAPLUS
CN Benzenesulfonamide, 4-[4-chloro-5-[4-(1-pyrrolidinyl)phenyl]-1H-imidazol-1-yl] - (9CI) (CA INDEX NAME)



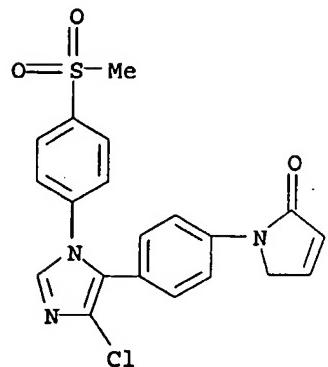
RN 372107-33-0 CAPLUS
CN 1H-Imidazole, 4-chloro-5-[3-chloro-4-(1-pyrrolidinyl)phenyl]-1-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



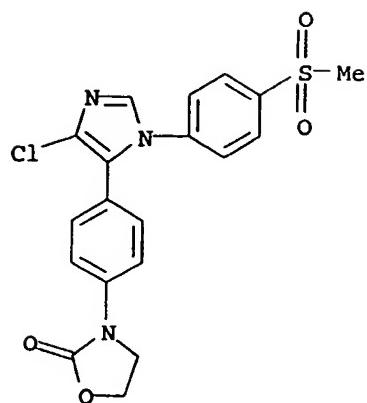
RN 372107-35-2 CAPLUS
CN 2,5-Pyrrolidinedione, 1-[4-[4-chloro-1-[4-(methylsulfonyl)phenyl]-1H-imidazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



RN 372107-37-4 CAPLUS
CN 2H-Pyrrol-2-one, 1-[4-[4-chloro-1-[4-(methylsulfonyl)phenyl]-1H-imidazol-5-yl]phenyl]-1,5-dihydro- (9CI) (CA INDEX NAME)

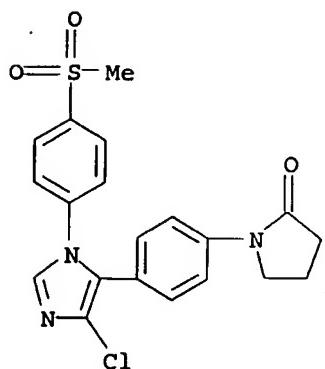


RN 372107-39-6 CAPLUS
CN 2-Oxazolidinone, 3-[4-[4-chloro-1-[4-(methylsulfonyl)phenyl]-1H-imidazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



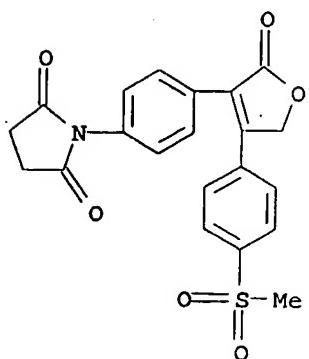
RN 372107-43-2 CAPLUS

CN 2-Pyrrolidinone, 1-[4-[4-chloro-1-[4-(methylsulfonyl)phenyl]-1H-imidazol-5-yl]phenyl]- (9CI) (CA INDEX NAME)



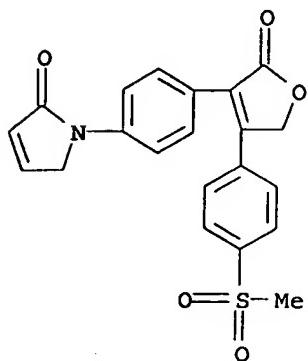
RN 372107-48-7 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[4-[2,5-dihydro-4-[4-(methylsulfonyl)phenyl]-2-oxo-3-furanyl]phenyl]- (9CI) (CA INDEX NAME)



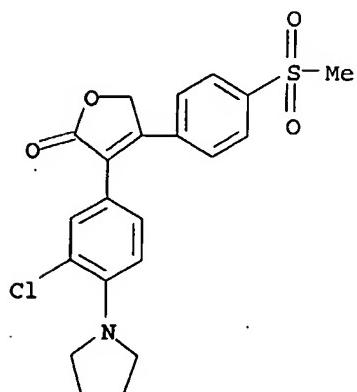
RN 372107-49-8 CAPLUS

CN 2H-Pyrrol-2-one, 1-[4-[2,5-dihydro-4-[4-(methylsulfonyl)phenyl]-2-oxo-3-furanyl]phenyl]-1,5-dihydro- (9CI) (CA INDEX NAME)



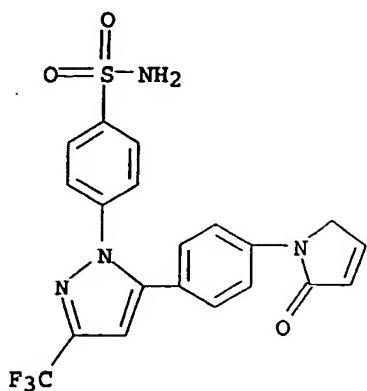
RN 372107-52-3 CAPLUS

CN 2(5H)-Furanone, 3-[3-chloro-4-(1-pyrrolidinyl)phenyl]-4-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



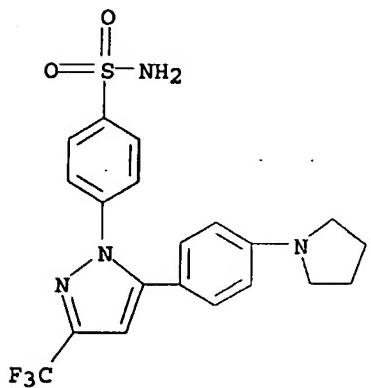
RN 372107-54-5 CAPLUS

CN Benzenesulfonamide, 4-[5-[4-(2,5-dihydro-2-oxo-1H-pyrrol-1-yl)phenyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

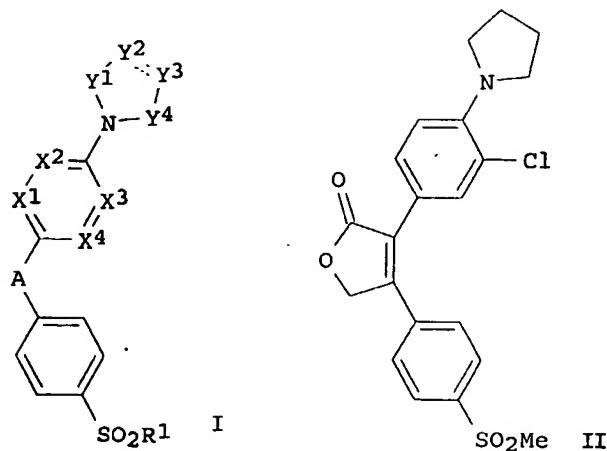


RN 372107-55-6 CAPLUS

CN Benzenesulfonamide, 4-[5-[(4-(1-pyrrolidinyl)phenyl)methyl]-3-(trifluoromethyl)-1H-pyrazol-1-yl] (9CI) (CA INDEX NAME)



GI



AB The invention relates to novel heterocyclic compds. of formula I, and to their salts, solvates, and prodrugs (wherein: A = 5-membered unsatd. or partially unsatd. ring with 1-3 optional heteroatoms (N/O/S), optional substituent(s) R2, and adjacent aryl groups; R1 = C1-8 (halo)alkyl, NR3R4; R2 = C1-4 (halo)alkyl, halo, oxo, cyano, NO2, CHO, COCH3, CO2R3; R3 = H, C1-8 alkyl, aryl, arylalkyl; R4 = H, C1-8 alkyl, arylalkyl, COR5, CO2R5; R5 = C1-8 (halo)alkyl; all X's = CR6; or 1-3 X's = N and the remainder = CR6; R6 = H, halo, C1-3 alkyl or alkoxy; dashed bond = optional pi bond; Y1, Y4 = CR7R7 or CO; Y2 and Y3 = CR8 when doubly bonded, or CR8R8 when singly bonded; Y2 can be CO if Y1 is not; Y3 can be CO if Y4 is not; Y3 can be NR9, O, or S if Y4 is CO; R7 = H, Me, Et; R8 = H, Me, Et, OH, OMe, or halo; R9 = H or C1-4 alkyl; aryl = Ph or naphthyl optionally substituted by C1-8 (halo)alkyl, halo, cyano, NO2, OR10, alkyl-OR10, SR10, alkyl-SR10, NR10R11, NR10COR11, COR10, CO2R10; R10 = H, C1-8 alkyl, CH2Ph, R11 = C1-8 (halo)alkyl]. The compds. are selective inhibitors of cyclooxygenase 2 (COX-2), useful as anti-inflammatory agents. Nineteen examples and 8 reference examples are given. For instance, 1-(4-methylsulfonylphenyl)ethanone underwent α -bromination, cyclocondensation with 4-nitrophenylacetic acid (60%), and hydrogenation at nitro (95%) to give 3-(4-aminophenyl)-4-(4-methylsulfonylphenyl)-5H-furan-2-one. This intermediate underwent cyclization with 1,4-dibromobutane at the amino group (27%) and adjacent ring chlorination (73%) to give title compound II. In tests for inhibition of COX-1 and COX-2 activity in human cell lines, II at 0.1 μ M gave 93% inhibition of COX-2 but did not appreciably inhibit COX-1 (0%).

**RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT**

L3 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:816651 CAPLUS
 DN 135:358158
 TI Preparation of N-[4-(oxadiazol-2-yl)phenylsulfonyl]-amino acid derivatives having therapeutic or preventive efficacies against glomerular disorders
 IN Shinosaki, Toshihiro; Ninomiya, Mitsuyoshi; Watanabe, Fumihiro.
 PA Shionogi & Co., Ltd., Japan
 SO PCT Int. Appl., 53 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

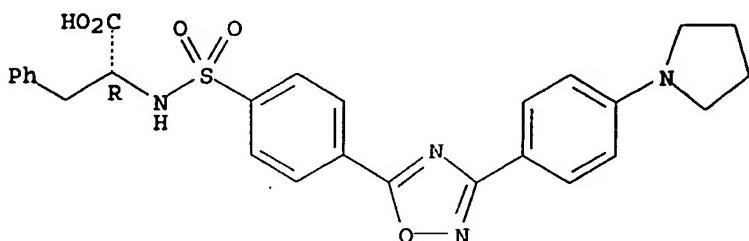
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001083464	A1	20011108	WO 2001-JP3215	20010416
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			JP 2000-120235	A 20000421
OS MARPAT 135:358158				
IT 372106-16-6P, (R)-2-[[[4-[3-(4-(Pyrrolidin-1-yl)phenyl)-1,2,4-oxadiazol-5-yl]phenyl]sulfonyl]amino]-2-benzylethanoic acid				
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);				

BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of [(oxadiazolyl)phenylsulfonyl]-amino acid derivs. as matrix
 metalloproteinase inhibitors and therapeutic or preventive agents for
 glomerular disorders)

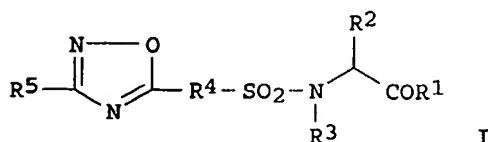
RN 372106-16-6 CAPLUS

CN D-Phenylalanine, N-[{4-[3-[4-(1-pyrrolidinyl)phenyl]-1,2,4-oxadiazol-5-
 yl]phenyl}sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



AB Pharmaceutical compns. for the treatment or prevention of glomerular disorders contain as the active ingredient compds. of the general formula [I; R1 = NH₂, OH, lower alkyloxy; R2, R3 = H, (un)substituted lower alkyl, aryl, aralkyl, heteroaryl, or heteroarylalkyl; R4 = (un)substituted arylene or heteroarylene; R5 = (un)substituted aryl, heteroaryl, or nonarom. heterocycl], prodrugs of the same, pharmaceutically acceptable salts of both, or solvates of them. These compds. I inhibit matrix metalloproteinase (MMP) and are safe and highly effective for the prevention or treatment of glomerular disorders, in particular glomerular nephritis and diabetic nephropathy. They are also useful for the treatment of osteoarthritis, aortic aneurysm, and diabetic retinopathy. Thus, N-sulfonylation of D-phenylalanine Me ester hydrochloride with 4-chlorosulfonylbenzoic acid in aqueous Na₂CO₃ at room temperature for 3 h gave N-[4-carboxyphenylsulfonyl]-L-phenylalanine Me ester which was converted into the acid chloride by treatment with oxalyl chloride in DMF at room temperature for 1 h and cyclocondensed with 4-fluorobenzamidoxime (preparation given)

in pyridine and diglyme at room temperature for 1 h and then at 110° for 3 h, followed by saponification with a mixture of 1 N aqueous NaOH and DMSO and acidification with aqueous 2 N HCl to give N-[4-[3-(4-fluorophenyl)-1,2,4-oxadiazol-5-yl]phenylsulfonyl]-D-phenylalanine. N-[4-[3-(5-chlorothiophen-2-yl)-1,2,4-oxadiazol-5-yl]phenylsulfonyl]-L-valine showed IC₅₀ of 0.0051, 0.056, and 0.025 μM against MMP-2, 8, and 9, resp.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

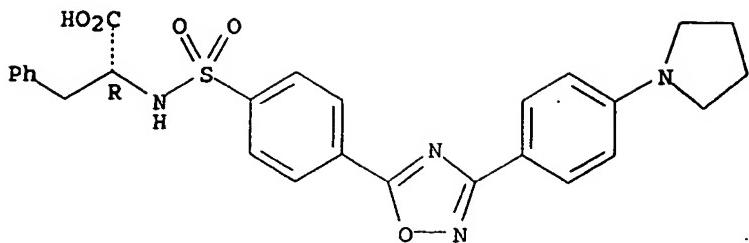
L3 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:816650 CAPLUS
 DN 135:357931
 TI Preparation of oxadiazole derivatives as anticancer agents inhibiting MMP-2
 IN Yoshioka, Takayuki; Maekawa, Ryuji; Watanabe, Fumihiko
 PA Shionogi & Co., Ltd., Japan
 SO PCT Int. Appl., 57 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

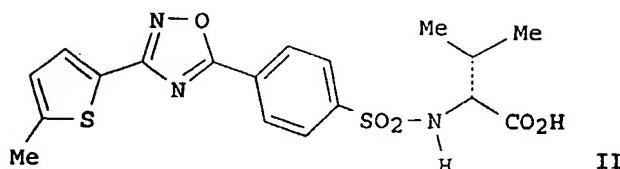
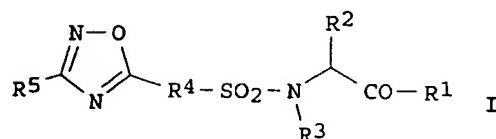
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001083463	A1	20011108	WO 2001-JP3214	20010416
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU	2001046916	A5	20011112	JP 2000-120234	A 20000421
				AU 2001-46916	20010416
				JP 2000-120234	A 20000421
				WO 2001-JP3214	W 20010416
EP	1277744	A1	20030122	EP 2001-919938	20010416
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
				JP 2000-120234	A 20000421
				WO 2001-JP3214	W 20010416
BR	2001010211	A	20030603	BR 2001-10211	20010416
				JP 2000-120234	A 20000421
				WO 2001-JP3214	W 20010416
ZA	2002008307	A	20031015	ZA 2002-8307	20021015
				JP 2000-120234	A 20000421
NO	2002005035	A	20021219	NO 2002-5035	20021018
				JP 2000-120234	A 20000421
				WO 2001-JP3214	W 20010416
US	2003203940	A1	20031030	US 2002-257917	20021018
US	6720343	B2	20040413	JP 2000-120234	A 20000421
				WO 2001-JP3214	W 20010416
US	2004122066	A1	20040624	US 2003-730946	20031210
				JP 2000-120234	A 20000421
				WO 2001-JP3214	W 20010416
				US 2002-257917	A3 20021018

OS MARPAT 135:357931
 IT 372106-16-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of oxadiazole derivs. as anticancer agents inhibiting MMP-2)
 RN 372106-16-6 CAPLUS
 CN D-Phenylalanine, N-[{4-[3-[4-(1-pyrrolidinyl)phenyl]-1,2,4-oxadiazol-5-yl]phenyl}sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



AB The title compds. I [R₁ is hydroxyl or the like; R₂ is optionally substituted lower alkyl or the like; R₃ is hydrogen or the like; R₄ is optionally substituted arylene or the like; and R₅ is optionally substituted aryl or the like] are prepared. The title compound II in vitro showed IC₅₀ of 6 nM against MMP-2. Formulations are given.
 RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:816648 CAPLUS
 DN 135:344729
 TI Preparation of N-thiazolylphenylsulfonylamino acid and N-oxazolylphenylsulfonylamino acid derivatives as macrophage metalloelastase inhibitors
 IN Furue, Shingo; Watanabe, Fumihiro; Tamura, Yoshinori
 PA Shionogi & Co., Ltd., Japan
 SO PCT Int. Appl., 67 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001083461	A1	20011108	WO 2001-JP3437	20010423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,				

HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT,
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

JP 2000-130041 A 20000428
 JP 2000-293419 A 20000927

OS MARPAT 135:344729

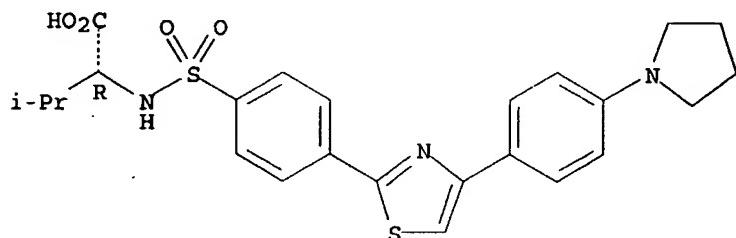
IT 370597-61-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-thiazolylphenylsulfonylamino acid and N-oxazolylphenylsulfonylamino acid derivs. as macrophage metalloelastase inhibitors)

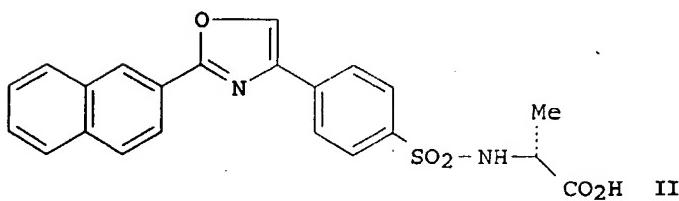
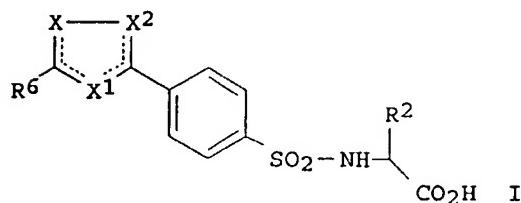
RN 370597-61-8 CAPLUS

CN D-Valine, N-[4-[4-[4-(1-pyrrolidinyl)phenyl]-2-thiazolyl]phenyl]sulfonyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI



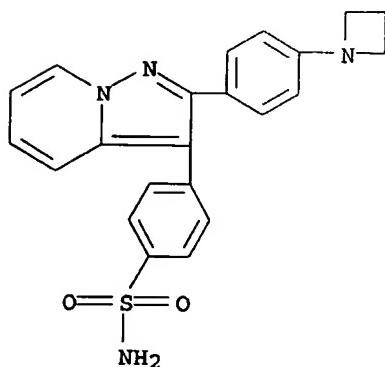
AB Title compds. [I; X = O, N, S, CH; X1 = N, O; X2 = CH, S; dotted bond = single bond, double bond; R6 = (un)substituted aryl, benzofuranyl, benzothienyl; R2 = alkyl], optical isomers, prodrugs, and pharmaceutically

acceptable salts or solvates of title compds. are prepared as macrophage metalloelastase inhibitors. Thus, the title compound II was prepared and MMP-1, MMP-2, MMP-8, MMP-9, MMP-12, and MMP-13 inhibition tested.

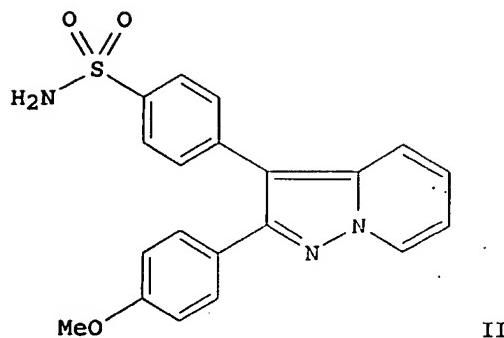
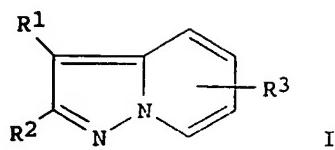
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2001:371567 CAPLUS
 DN 135:5612
 TI Preparation of new pyrazolo terpyridines as remedies for inflammation, autoimmune diseases
 IN Yamamoto, Hirofumi; Takahashi, Fumie; Kato, Takeshi; Nakamura, Katsuya; Manabe, Koji
 PA Fujisawa Pharmaceutical Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 64 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001139575	A2	20010522	JP 1999-323692 JP 1999-323692	19991115 19991115
OS	MARPAT 135:5612				
IT	340322-50-1P				
	RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of new pyrazolo terpyridines as remedies for inflammation autoimmune diseases)				
RN	340322-50-1 CAPLUS				
CN	Benzenesulfonamide, 4-[2-[4-(1-azetidinyl)phenyl]pyrazolo[1,5-a]pyridin-3-yl]- (9CI) (CA INDEX NAME)				



GI



AB The pyrazolo terpyridine or that salt which is cyclooxygenase - 2 (COX-II) inhibitors, those production methods, the medicine composition, and the person or the animal which contain those inflammation condition, u painfully, prevention of the autoimmune disease and / or the method of treating is offered. Below-mentioned general formula (I) [in the formula, the R1 and the R2, the resp. hydrogen, the hydrogen, the low-grade alkyl group and the halogen et cetera, mean, R3 such as low-grade alkyl group and the cyclo (low grade) alkyl group resp.] So the chemical compound which is displayed or that salt.

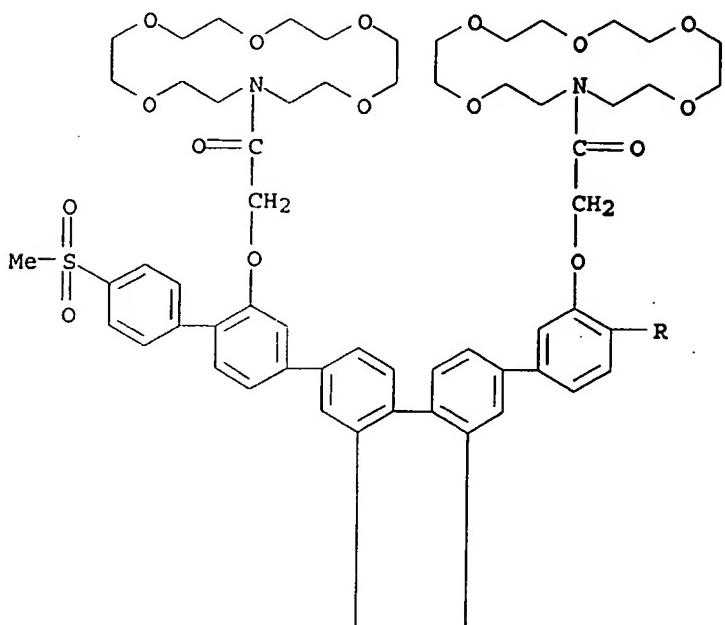
L3 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:130219 CAPLUS
DN 134:322321
TI Electrostatics of Cell Membrane Recognition: Structure and Activity of Neutral and Cationic Rigid Push-Pull Rods in Isoelectric, Anionic, and Polarized Lipid Bilayer Membranes
AU Sakai, Naomi; Gerard, David; Matile, Stefan
CS Department of Organic Chemistry, University of Geneva, Geneva, CH-1211, Switz.
SO Journal of the American Chemical Society (2001), 123(11), 2517-2524
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 134:322321
IT 335629-09-9P 335629-19-1P 335629-21-5P
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(electrostatics of cell membrane recognition: structure and activity of neutral and cationic rigid push-pull rods in isoelec., anionic, and polarized lipid bilayer membranes)

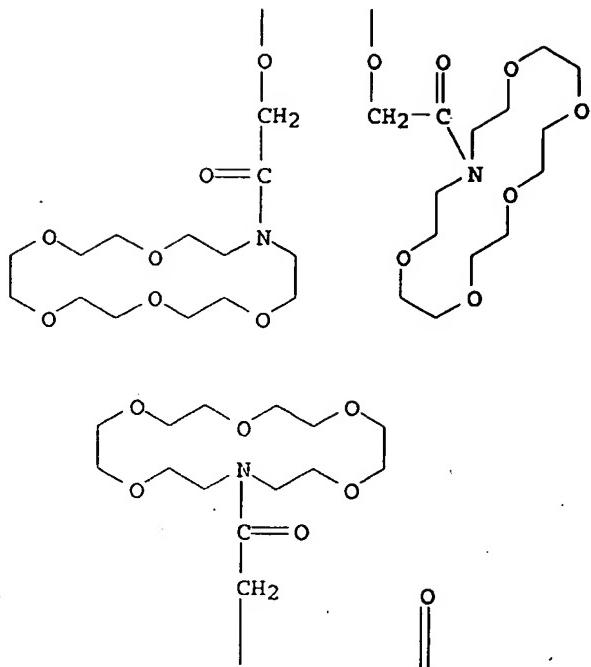
RN 335629-09-9 CAPLUS

CN 1,4,7,10,13-Pentaoxa-16-azacyclooctadecane, 16,16',16'',16''',16'''',16'''''
 16''''-[[4,4''''-bis(methylsulfonyl)[1,1':4',1'':4'',1'''':4''',1''''':4''''',1
 ''''':4''''',1''''':4''''',1''''-octiphenyl]-
 2',2''',2''''',3'',3''',3''''-hexayl]hexakis[oxy(1-oxo-2,1-
 ethanediyl)] hexakis- (9CI) (CA INDEX NAME)

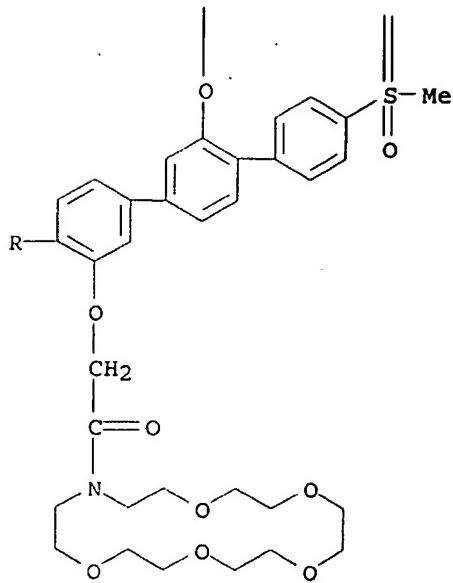
PAGE 1-A



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PAGE 3-A

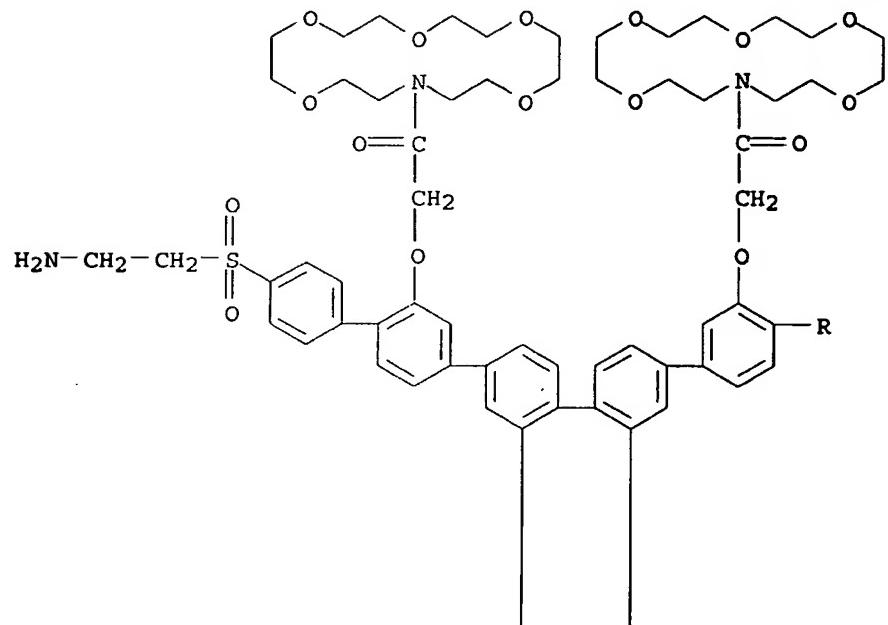


RN 335629-19-1 CAPLUS

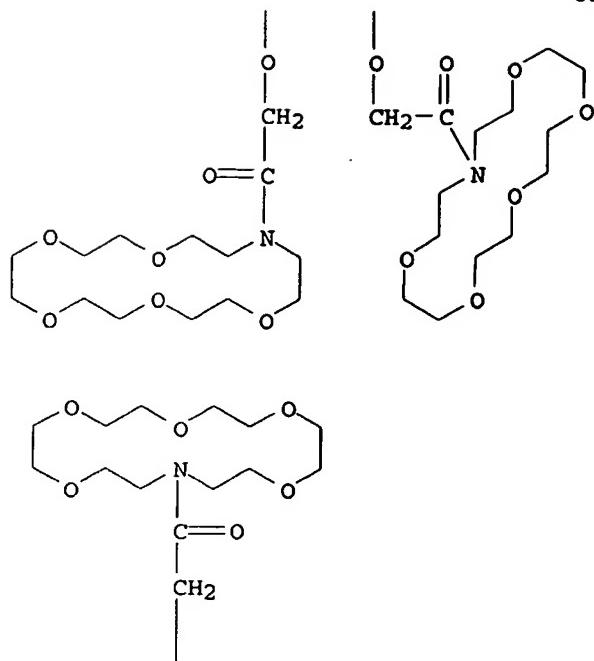
CN 1,4,7,10,13-Pentaoxa-16-azacyclooctadecane, 16,16',16'',16''',16'''',16'''''-[[4-[2-aminoethyl]sulfonyl]-4''''''-(methylthio)[1,1':4',1'':4'',1'''':4''',1'''''':4''''',1'''''''-octiphenyl]-

2',2'',2''',2''',3'',3'',3''-hexayl]hexakis[oxy(1-oxo-2,1-ethanediyl)]hexakis- (9CI) (CA INDEX NAME)

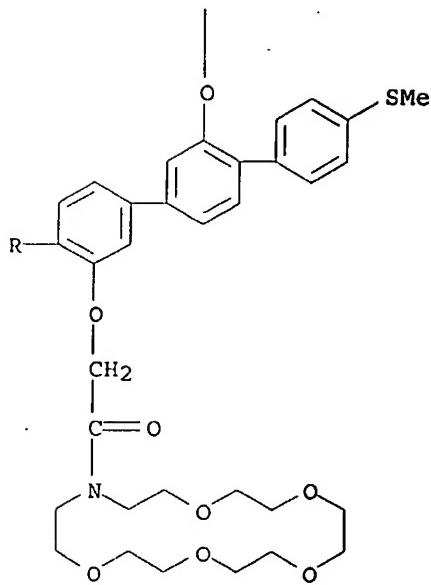
PAGE 1-A



PAGE 2-A



PAGE 3-A

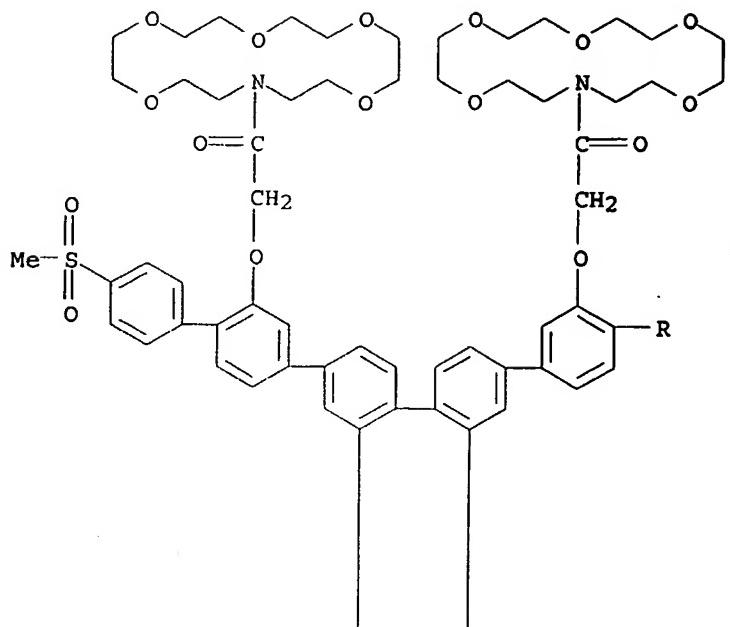


RN 335629-21-5 CAPLUS

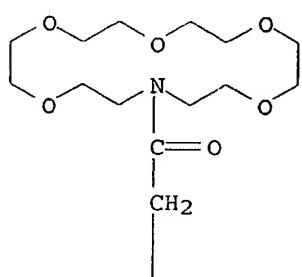
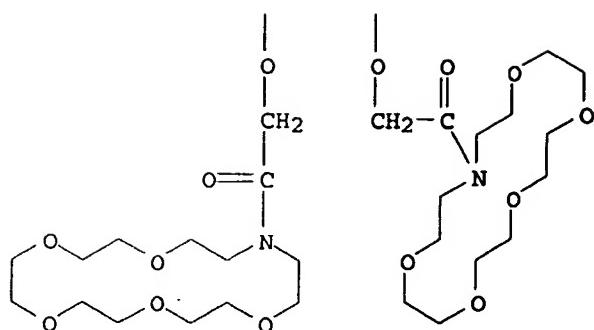
CN 1,4,7,10,13-Pentaoxa-16-azacyclooctadecane, 16,16',16'',16''',16'''',16'''''-[[4-[(2-aminoethyl)thio]-4''''''-(methylsulfonyl)][1,1':4',1'':4'',1'''':4''',1'''''':4''''',1'''''''-octiphenyl]-

2',2'',2''',2''''',3'',3'',3'''''-hexayl]hexakis[oxy(1-oxo-2,1-ethanediyl)]hexakis- (9CI) (CA INDEX NAME)

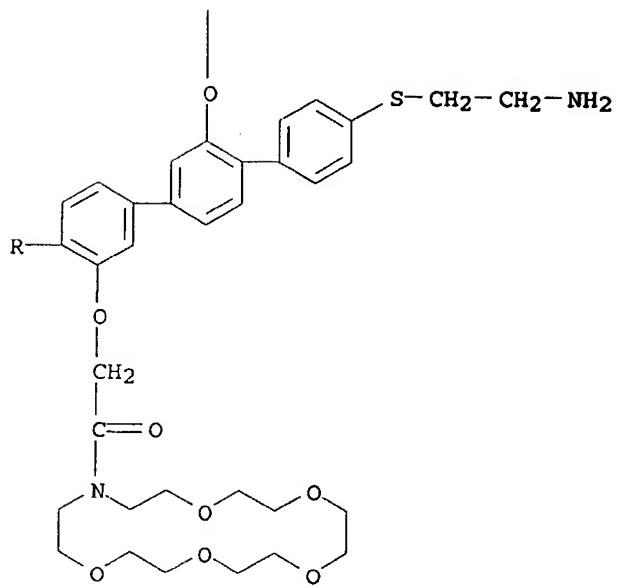
PAGE 1-A



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PAGE 3-A



IT 335629-11-3P 335629-13-5P 335629-15-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

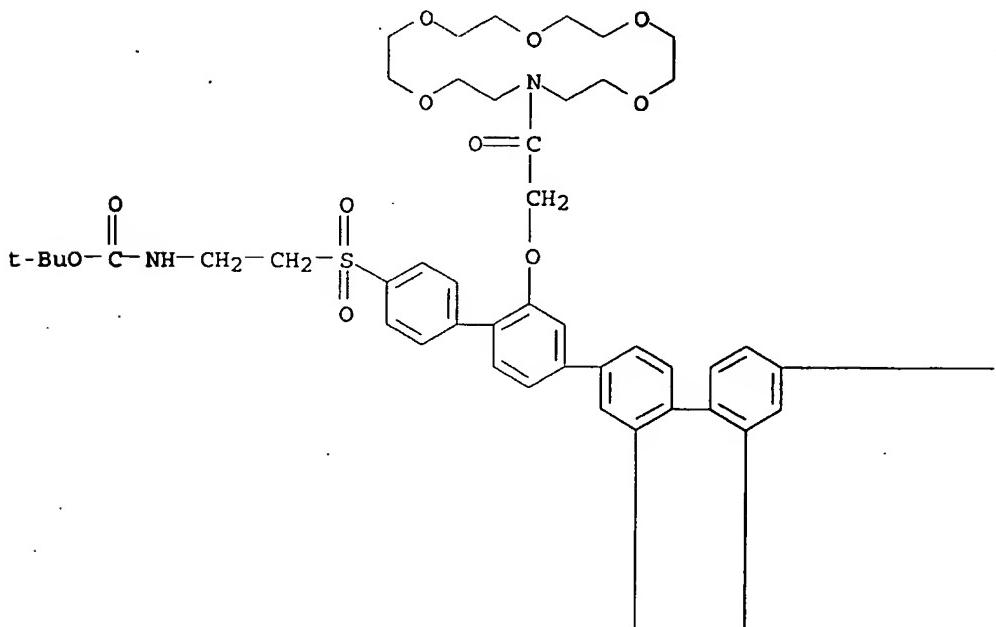
(electrostatics of cell membrane recognition: structure and activity of

neutral and cationic rigid push-pull rods in isoelec., anionic, and polarized lipid bilayer membranes)

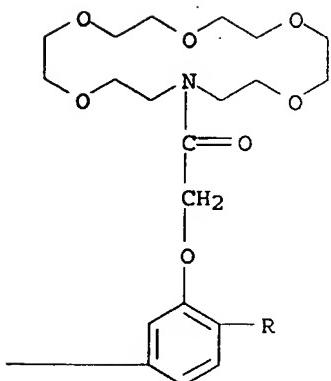
RN 335629-11-3 CAPLUS

CN Carbamic acid, [2-[[4'':':':-(methylsulfonyl)-2',2'':',2'':':,3'':,3'':,3'':-hexakis[2-oxo-2-(1,4,7,10,13-pentaoxa-16-azacyclooctadec-16-yl)ethoxy][1,1':4',1'':4'',1'':4'',1'':4'',1'':4'']-1,1':4'']-1,1':4'']-octiphenyl]-4-yl]sulfonyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

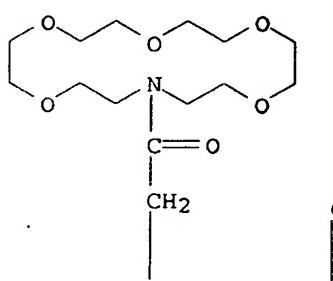
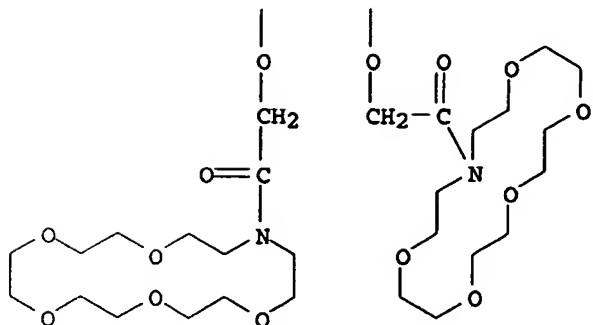
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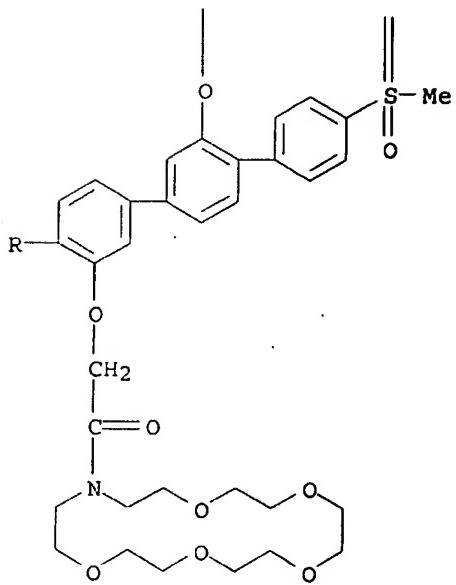
PAGE 1-B



PAGE 2-A



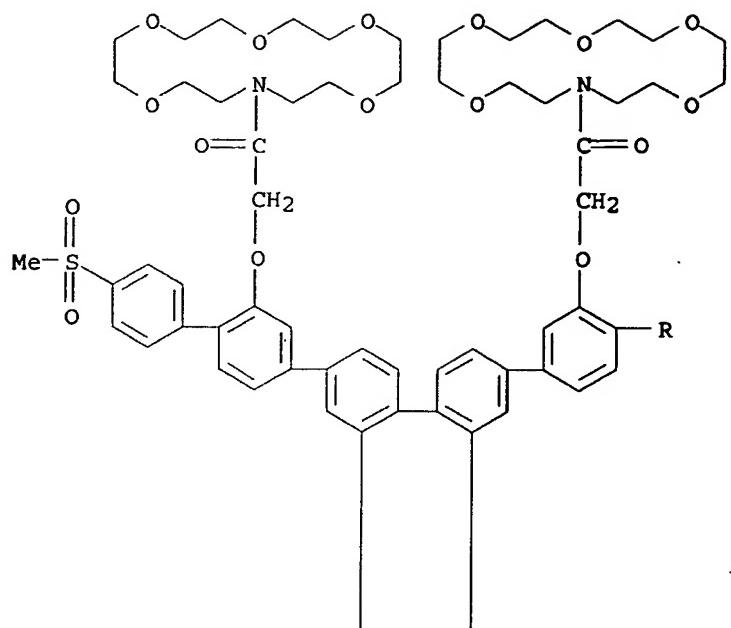
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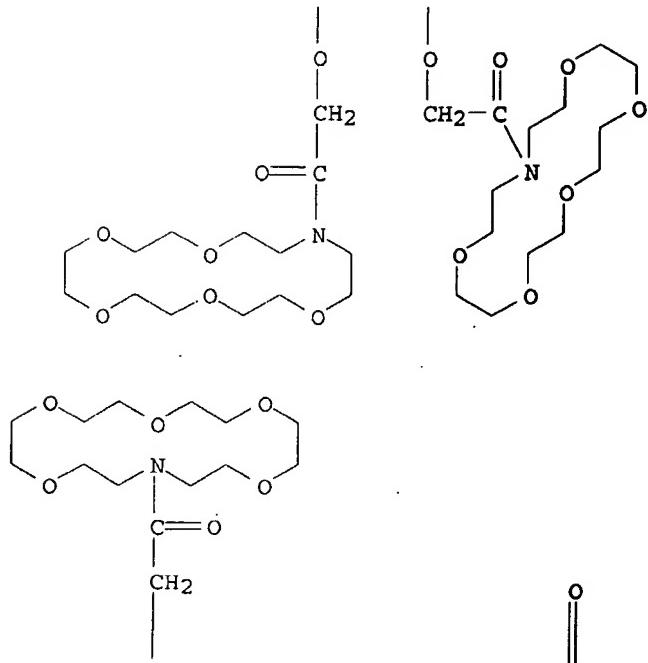
RN 335629-13-5 CAPLUS

1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

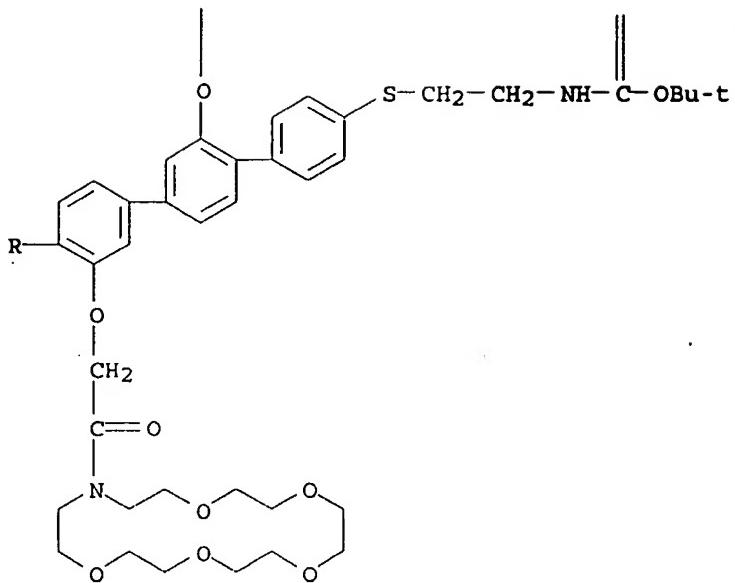
PAGE 1-A



PAGE 2-A



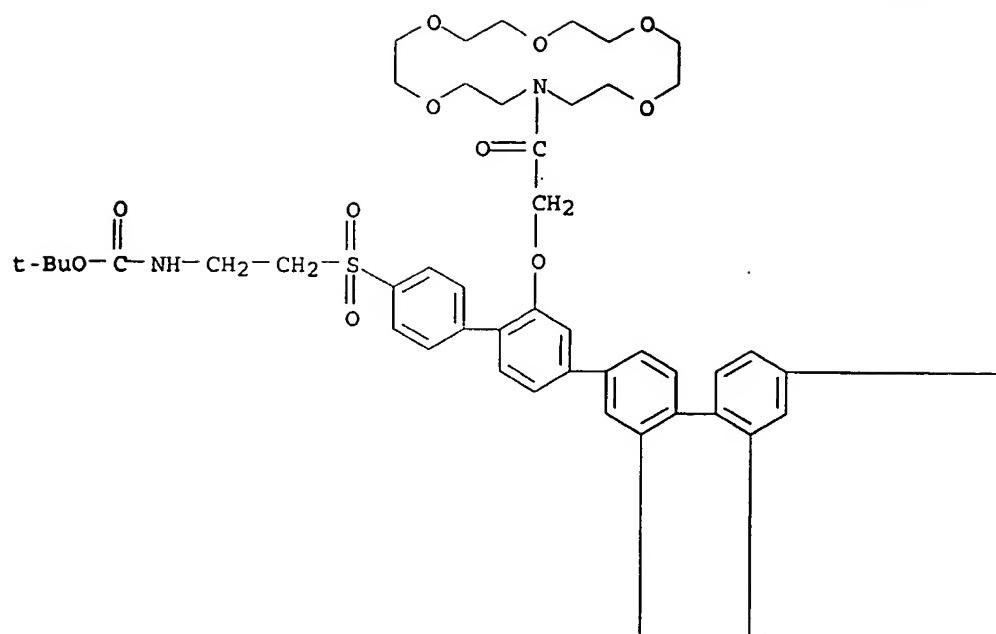
PAGE 3-A



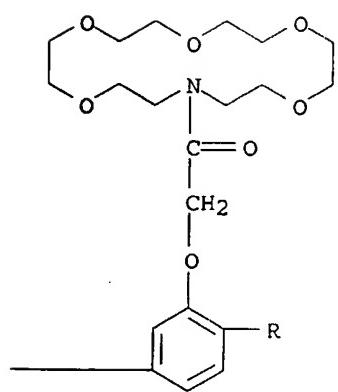
RN 335629-15-7 CAPLUS

CN Carbamic acid, [2-[4'-----(methylthio)-2',2'',2''',3'',3''',3''''-hexakis[2-oxo-2-(1,4,7,10,13-pentaoxa-16-azacyclooctadec-16-yl)ethoxy][1,1':4',1'':4'',1'''':4''',1''':4''',1''':4'',1''':4'':1,1''':4'',1''':4'',1''':4'':1'-octiphenyl]-4-yl]sulfonyl]ethyl-, 1,1-dimethylethyl ester
(9CI) (CA INDEX NAME)

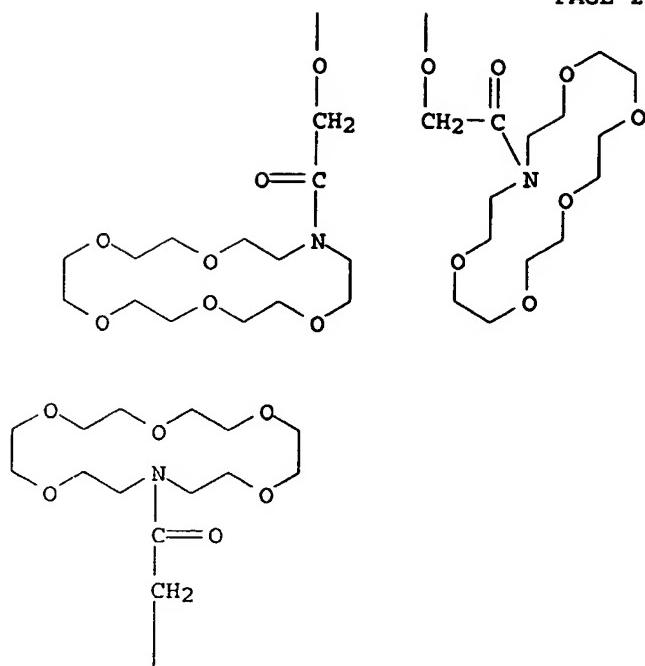
PAGE 1-A



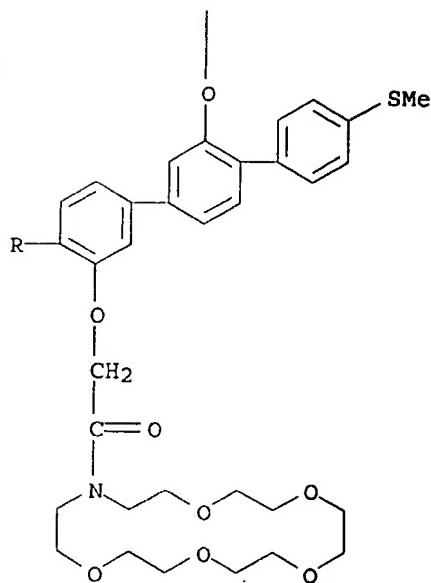
PAGE 1-B



PAGE 2-A



PAGE 3-A



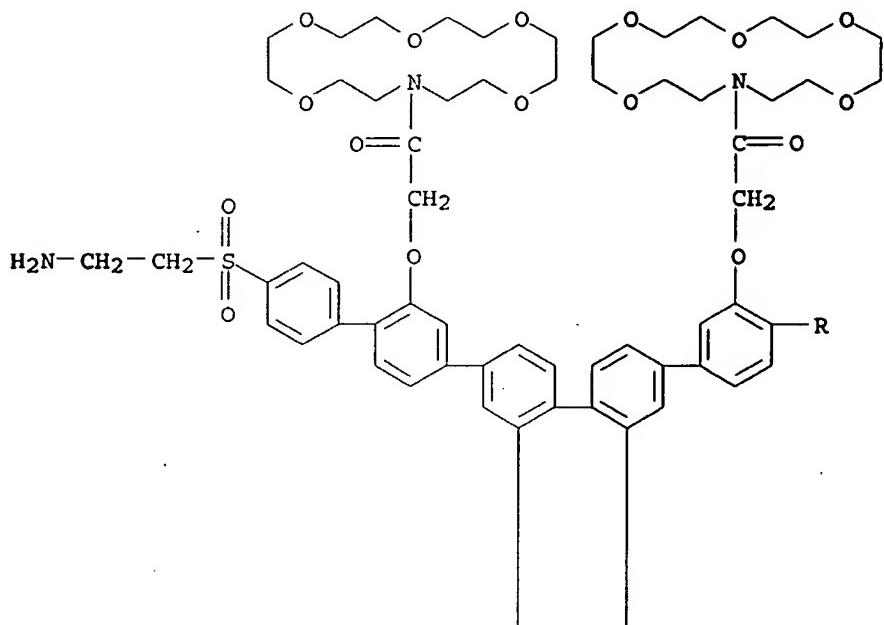
IT 335629-23-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(electrostatics of cell membrane recognition: structure and activity of
neutral and cationic rigid push-pull rods in isoelec., anionic, and
polarized lipid bilayer membranes)

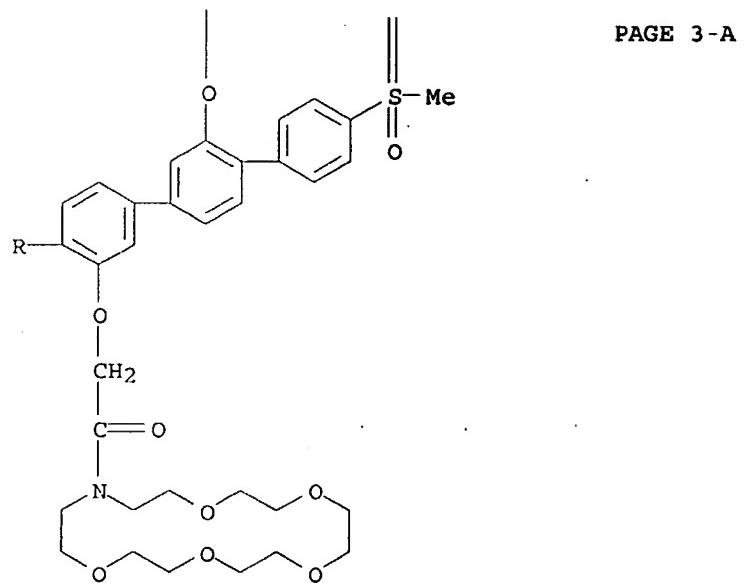
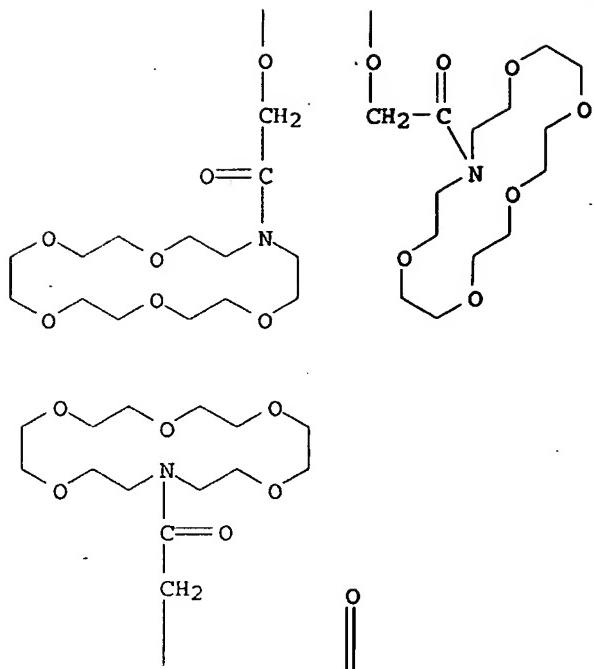
RN 335629-23-7 CAPLUS

CN 1,4,7,10,13-Pentaoxa-16-azacyclooctadecane, 16,16',16'',16''',16'''',16''''
 ---[[4-[(2-aminoethyl)sulfonyl]-4'---(methylsulfonyl)[1,1':4',1'':4'',
 1'':4''',1'':4''',1'':4''',1'':4''',1'':4''',1'':4''---octiphenyl]-
 2',2'',2''',2''',3'',3'''---hexayl]hexakis[oxy(1-oxo-2,1-
 ethanediyl)] (CA INDEX NAME)

PAGE 1-A



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- AB** Design, synthesis, and structural and functional studies of rigid-rod ionophores of different axial electrostatic asymmetry are reported. The employed design strategy emphasized presence of (a) a rigid scaffold to minimize the conformational complexity, (b) a unimol. ion-conducting

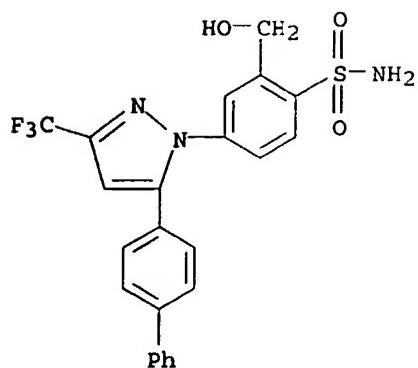
pathway to minimize the suprastructural complexity and monitor the function, (c) an extended fluorophore to monitor structure, (d) variable axial rod dipole, and (e) variable terminal charges to create axial asymmetry. Studies in isoelec., anionic, and polarized bilayer membranes confirmed a general increase in activity of uncharged rigid push-pull rods in polarized bilayers. The similarly increased activity of cationic rigid push-pull rods with an electrostatic asymmetry comparable to that of α -helical bee toxin melittin (pos. charge near neg. axial dipole terminus) is shown by fluorescence-depth quenching expts. to originate from the stabilization of transmembrane rod orientation by the membrane potential. The reduced activity of rigid push-pull rods having an electrostatic asymmetry comparable to that in α -helical natural antibiotics (a pos. charge near the pos. axial dipole terminus) is shown by structural studies to originate from rod "ejection" by membrane potentials comparable to that found in mammalian plasma membranes. This structural evidence for cell membrane recognition by asym. rods is unprecedented and of possible practical importance with regard to antibiotic resistance.

RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

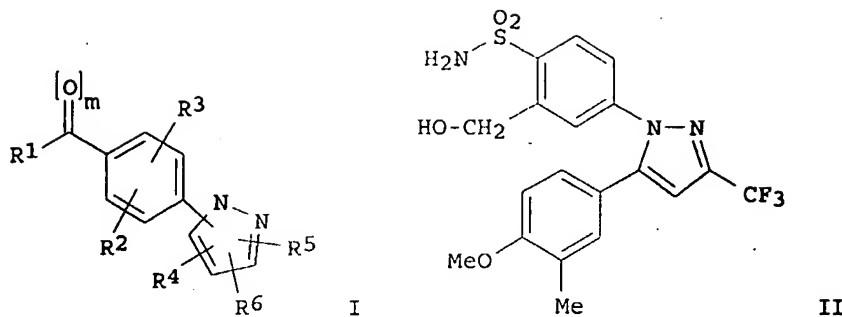
L3 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2000:790480 CAPLUS
 DN 133:335232
 TI Preparation of pyrazoles as antiinflammatory agents
 IN Lohray, Vidya Bhushan; Sunil, Kumar Singh; Akella, Venkateswarlu; Lohray, Braj Bhushan; Pamulapati, Ganapathi Reddy; Ramanujam, Rajagopalan; Parimal, Misra
 PA Reddy's Research Foundation, India
 SO PCT Int. Appl., 134 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000066562	A1	20001109	WO 2000-IB556	20000502
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
			IN 1999-MA508	A 19990503

OS MARPAT 133:335232
 IT 304648-26-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrazoles as antiinflammatory agents)
 RN 304648-26-8 CAPLUS
 CN Benzenesulfonamide, 4-[5-[1,1'-biphenyl]-4-yl-3-(trifluoromethyl)-1H-pyrazol-1-yl]-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)



GI



AB The title compds. [I; R1 = NH₂, alkyl, alkylamino, etc.; R2 = CN, NO₂, N₃, etc.; R3 = H, halo, OH, etc.; R4-R6 = H, halo, OH, etc.; m = 0-2], useful for the treatment and/or prophylaxis of diseases of cyclooxygenase, more particularly COX-2, were prepared E.g., a multi-step synthesis of the pyrazole II which showed IC₅₀ of 0.56 ± 0.03 (100 μM) against COX-2 vs. IC₅₀ of 264 ± 0.5 (100 μM) against COX-1, was given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:756693 CAPLUS

DN 133:309896

TI Preparation of sulfonamide derivatives having oxadiazole rings as matrix metalloprotease inhibitors

IN Watanabe, Fumihiro; Tamura, Yoshinori; Fujii, Yasuhiko

PA Shionogi & Co., Ltd., Japan

SO PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DT Patent

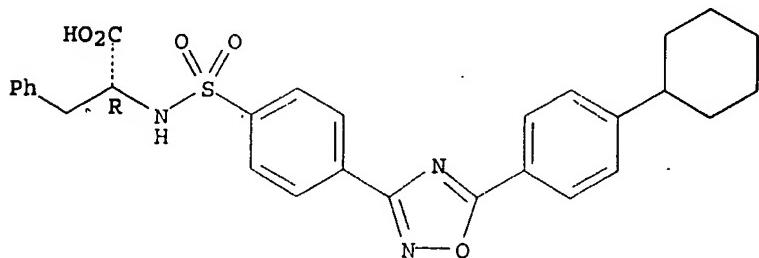
LA Japanese

FAN.CNT 1

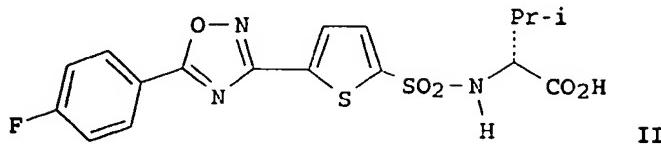
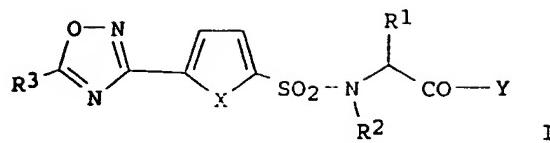
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2000063194	A1	20001026	WO 2000-JP2404	20000413
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EP	1172361	A1	20020116	JP 1999-110321	A 19990419
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				WO 2000-JP2404	W 20000413
US	6495578	B1	20021217	AU 2000-36776	20000413
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OS	MARPAT 133:309896			NO 2001-5078	20011018
IT	301835-77-8P			JP 1999-110321	A 19990419
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of sulfonamide derivs. having oxadiazole rings as matrix metalloprotease inhibitors)			WO 2000-JP2404	W 20000413
RN	301835-77-8 CAPLUS				
CN	D-Phenylalanine, N-[[4-[5-(4-cyclohexylphenyl)-1,2,4-oxadiazol-3-yl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)				

Absolute stereochemistry.



GI



AB The title compds. I [R1 and R2 are each independently hydrogen, optionally substituted lower alkyl, or the like; R3 is optionally substituted aryl, optionally substituted heteroaryl, or the like; X is CH:CH, O, or S; and Y is NHOH, hydroxyl, or lower alkyloxy] are prepared. The title compound II in vitro showed IC50 of 0.067 μ M against MMP-2. Formulations are given.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:742084 CAPLUS

DN 133:309836

TI Preparation of 4,5-diaryl-3(2H)-furanones as cyclooxygenase-2 inhibitors
IN Shin, Song Seok; Noh, Min-Soo; Byun, Young Joo; Choi, Jin Kyu; Kim, Jin Kwan; Lim, Kyung Min; Kim, Ji Young; Choi, Young Hoon; Ha, Jun-Yong; Lee, Ki-Wha; Moh, Joo Hyun; Jeong, Yeon Su; Chung, Shin; Joo, Yung Hyup; Lee, Chang Hoon; Kang, Seon Hwa; Park, Young-Ho; Yi, Jung Bum

PA Pacific Corporation, S. Korea

SO PCT Int. Appl., 240 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

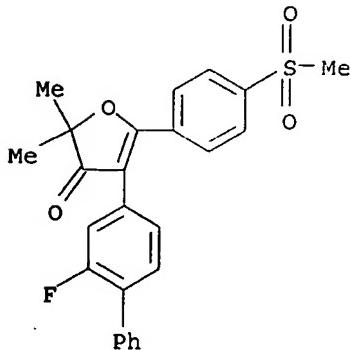
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				KR 1999-29779	A 19990722
				KR 1999-39043	A 19990913
				KR 2000-16866	A 20000331
				KR 2000-17647	A 20000404
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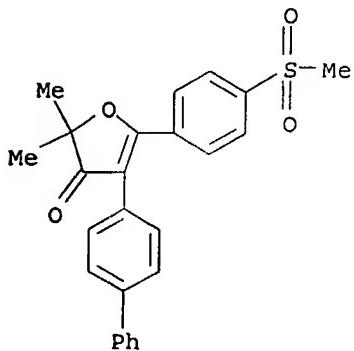
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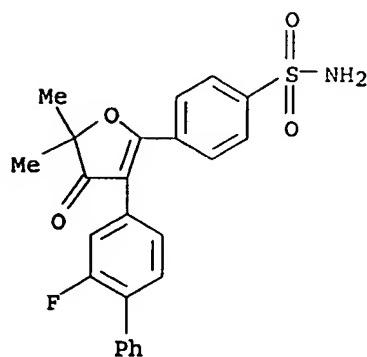
OS MARPAT 133:309836
 IT 301690-35-7P 301691-71-4P 301693-02-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 4,5-diaryl-3(2H)-furanones as cyclooxygenase-2 inhibitors)
 RN 301690-35-7 CAPPLUS
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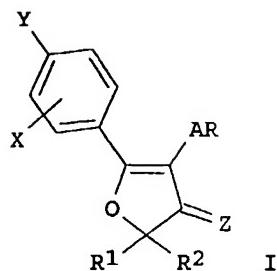
RN 301691-71-4 CAPPLUS
 CN 3(2H)-Furanone, 4-[1,1'-biphenyl]-4-yl-2,2-dimethyl-5-[4-(methylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 301693-02-7 CAPPLUS
 CN Benzenesulfonamide, 4-[3-(2-fluoro[1,1'-biphenyl]-4-yl)-4,5-dihydro-5,5-dimethyl-4-oxo-2-furanyl]- (9CI) (CA INDEX NAME)



GI



AB The title compds. [I; X = halo, H, alkyl; Y = alkylsulfonyl, aminosulfonyl, alkylsulfinyl, etc.; Z = O, S; R1, R2 = alkyl; R1 and R2, taken together with the 2-position carbon atom of 3(2H)-furanone ring, form a 4-6 membered aliphatic or heterocyclic ring; AR = (un)substituted aryl of 5-10 atoms] which inhibit strongly and selectively COX-2 over COX-1 (data given), and are useful in treating inflammation, inflammation-associated disorders, and COX-2 mediated diseases, were prepared. Thus, reacting 4-bromo-2,2-dimethyl-5-{4-(methylsulfonyl)phenyl}-3(2H)-furanone (preparation given) with 3-fluorobenzeneboronic acid in the presence of Pd(PPh₃)₄ and saturated aqueous NaHCO₃ in PhMe and EtOH afforded I [X = H;

Y = SO₂Me; Z = O; R1, R2 = Me; AR = 3-FC₆H₄] which showed IC₅₀ of 0.02 µg/mL against COX-2 vs. IC₅₀ of 5 µg/mL against COX-1.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s 13 and prostagladin
L4 0 L3 AND PROSTAGLADIN

=> log y
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
92.70	248.33

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL